09/728,616

```
Welcome to STN International!  Enter x:x

LOGINID:ssspta1600txm

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 17:29:12 ON 05 FEB 2004
FILE 'REGISTRY' ENTERED AT 17:29:12 ON 05 FEB 2004
COPYRIGHT (C) 2004 American Chemical Society (ACS)

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     3.36       3.57

=>
Uploading C:\Program Files\Stnexp\Queries\09728616a.str


=>
Uploading C:\Program Files\Stnexp\Queries\09728616b.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1 exact sam
STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH
You have requested a full structure (EXA or FAM) search on a
structure containing one of the special variable-atom symbols
A, M, Q, or X, or a variable group G.  Only bond variability
is allowed in structures for EXA or FAM searches.  Variable
nodes are never permitted.

=> s l1 fam sam
STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH
You have requested a full structure (EXA or FAM) search on a
structure containing one of the special variable-atom symbols
A, M, Q, or X, or a variable group G.  Only bond variability
is allowed in structures for EXA or FAM searches.  Variable
nodes are never permitted.

=> s l1 substructure sam
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms.  L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles.  The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> s l1 sub sam
ENTER SUBSET L# OR (END):l1
L1 MAY NOT BE USED HERE
```

~~10/033753~~

The L-number must have been created by a search in this file. To see all L-numbers defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>). For additional information on subset searching in this file, enter HELP SUBSET.
ENTER SUBSET L# OR (END):end
SEARCH ENDED BY USER

=> s l1 sam
SAMPLE SEARCH INITIATED 17:34:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15 TO ITERATE

100.0% PROCESSED 15 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

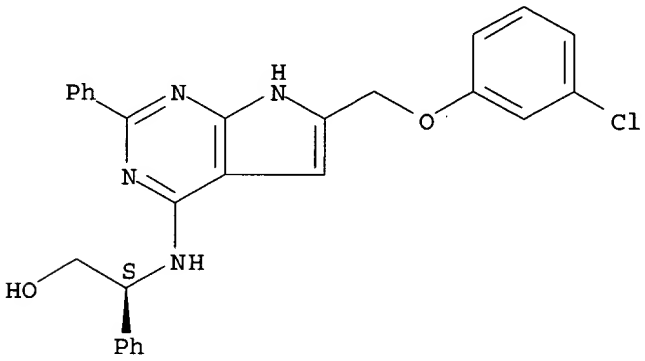
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 68 TO 532
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> d scan str

L2 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

Absolute stereochemistry.



ALL ANSWERS HAVE BEEN SCANNED

=> d cost

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES	6.84	6.99
NETWORK CHARGES	1.14	1.20
FULL ESTIMATED COST	7.98	8.19

IN FILE 'REGISTRY' AT 17:35:31 ON 05 FEB 2004

=> d his

(FILE 'HOME' ENTERED AT 17:23:02 ON 05 FEB 2004)

```
     FILE 'REGISTRY' ENTERED AT 17:23:32 ON 05 FEB 2004
L1              STRUCTURE UPLOADED
L2            1 S L1 SAM

=> s l1 full
FULL SEARCH INITIATED 17:36:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     365 TO ITERATE

100.0% PROCESSED     365 ITERATIONS                                47 ANSWERS
SEARCH TIME: 00.00.01

L3           47 SEA SSS FUL L1

=> d cost
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CONNECT CHARGES                                           7.56       7.71
NETWORK CHARGES                                           1.26       1.32
SEARCH CHARGES                                          155.00     155.00
                                                        -------    -------
FULL ESTIMATED COST                                     163.82     164.03

IN FILE 'REGISTRY' AT 17:37:00 ON 05 FEB 2004


=> d stf

L3   ANSWER 1 OF 47  REGISTRY  COPYRIGHT 2004 ACS on STN
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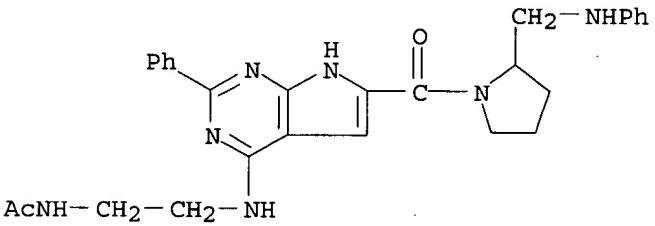


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


=> d his

     (FILE 'HOME' ENTERED AT 17:23:02 ON 05 FEB 2004)

     FILE 'REGISTRY' ENTERED AT 17:23:32 ON 05 FEB 2004
L1              STRUCTURE UPLOADED
L2            1 S L1 SAM
L3           47 S L1 FULL

=> file caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.79     167.00
```

10/035753

FILE 'CAPLUS' ENTERED AT 17:39:55 ON 05 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 5 Feb 2004 VOL 140 ISS 6
FILE LAST UPDATED: 4 Feb 2004 (20040204/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

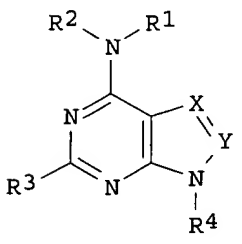
=> s l3
L4 11 L3

=> d fbib abs hitstr total

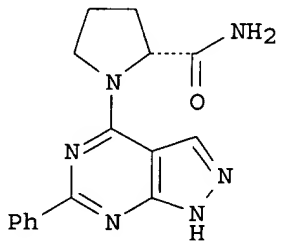
L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:570644 CAPLUS
DN 139:133575
TI Preparation of bicyclic pyrimidinyl derivatives as adenosine receptor ligands
IN Castelhano, Arlindo L.; McKibben, Bryan
PA OSI Pharmaceuticals Inc., USA
SO U.S. Pat. Appl. Publ., 105 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003139427	A1	20030724	US 2002-227378	20020823
			US 2002-227378	20020823

OS MARPAT 139:133575
GI



I



II

AB Title compds. I [Y = N, CR5 and X = N, CR6 wherein X, Y are both N or when

Bad Date

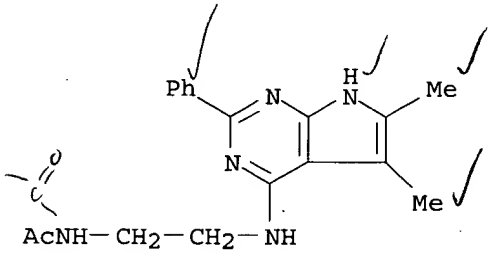
Printing claims to look for Dowble Pat.

10/035753

Y = CR5, X = N or when X = CR6, Y = N; R1-2 = H, alkoxy, aminoalkyl, etc; R3-4 = H, alkyl, aryl, alkylaryl] are prepared For instance, 3-amino-4-carbamoylpyrazole is acylated with benzoyl chloride (Pyridine, 50°, 5-6 h), cyclized to the corresponding pyrazolopyrimidine (water, K2CO3, 100°, 16 h), converted to the chloride (POCl3, 106°, 2 h) and used for reactions with various amines to give the example compds., e.g., II. II has Ki = 76.7 nM for the adenosine A1 receptor, Ki = 242.7 nM for A2a and Ki = 1480.5 nM for A2b. I are useful for the treatment of.

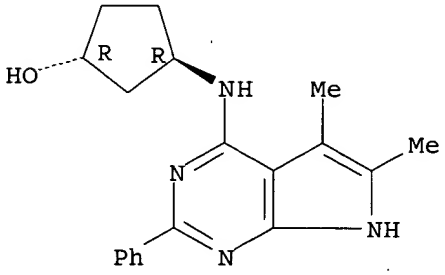
IT **246855-42-5P 251946-07-3P 251946-08-4P**
251946-37-9P 251946-38-0P 251946-39-1P
251946-40-4P 251946-41-5P 251946-45-9P
251946-46-0P 343632-20-2P 343632-31-5P
343632-32-6P 343632-33-7P 343632-35-9P
343632-36-0P 343632-37-1P 343632-38-2P
343632-39-3P 343632-40-6P 343632-41-7P
343632-43-9P 343632-44-0P 343632-45-1P
343632-46-2P 343969-97-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic pyrazolo- imidazo- and triazolopyrimidinyl derivs. as adenosine receptor ligands)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



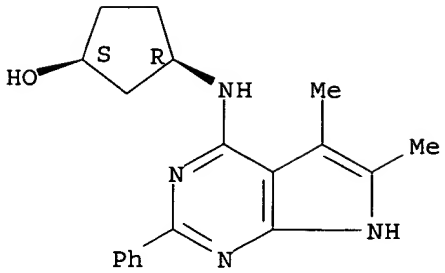
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

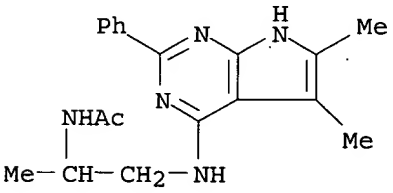


RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

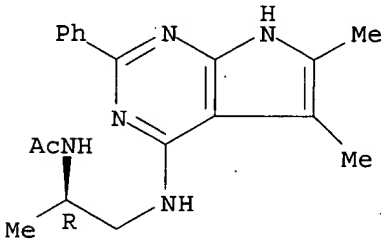


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



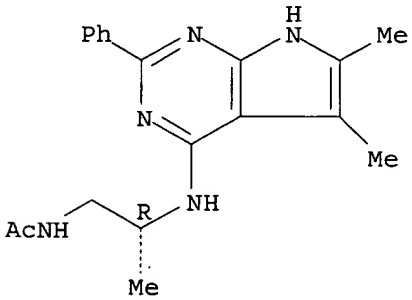
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



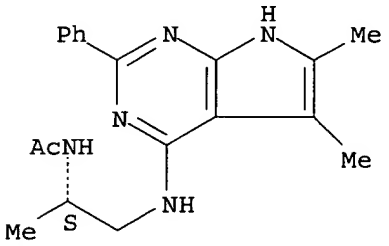
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



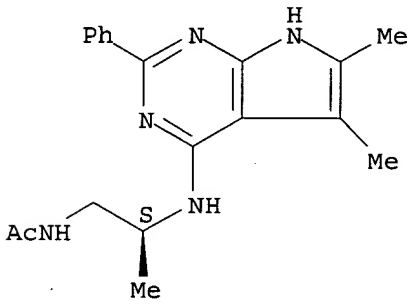
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

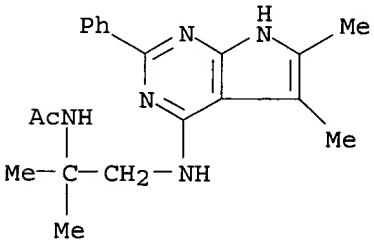


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

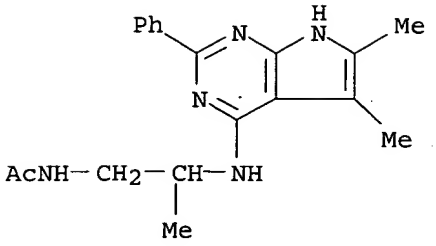
Absolute stereochemistry.



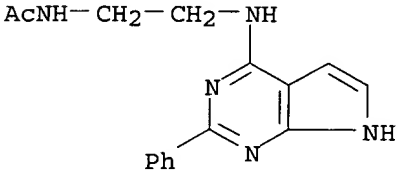
RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



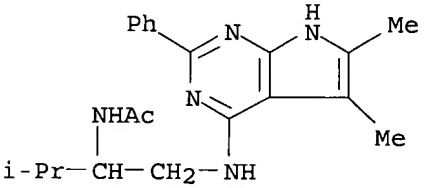
RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)



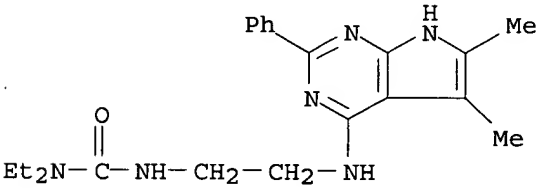
RN 343632-20-2 CAPLUS
CN Acetamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



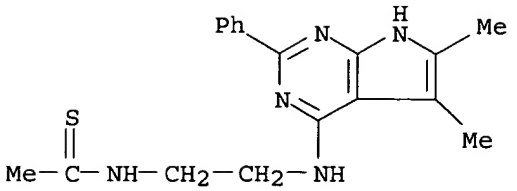
RN 343632-31-5 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



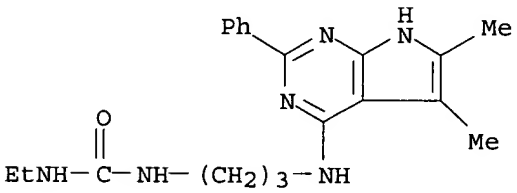
RN 343632-32-6 CAPLUS
CN Urea, N'-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



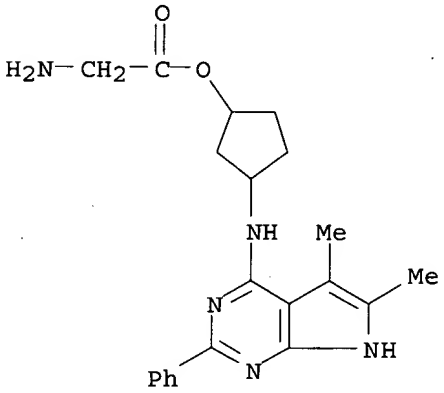
RN 343632-33-7 CAPLUS
CN Ethanethioamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



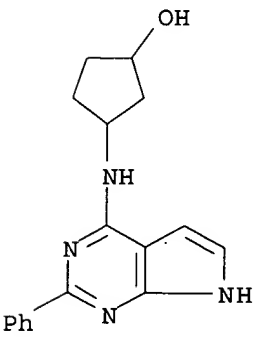
RN 343632-35-9 CAPLUS
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



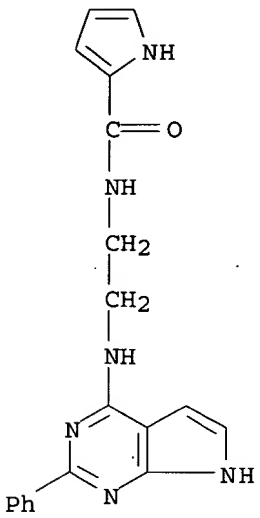
RN 343632-36-0 CAPLUS
CN Glycine, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester (9CI) (CA INDEX NAME)



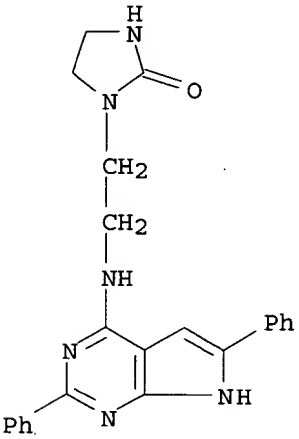
RN 343632-37-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



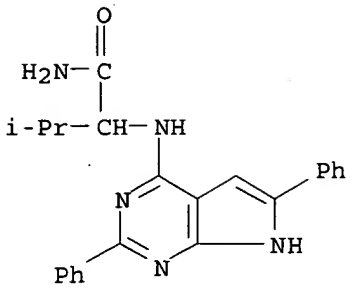
RN 343632-38-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



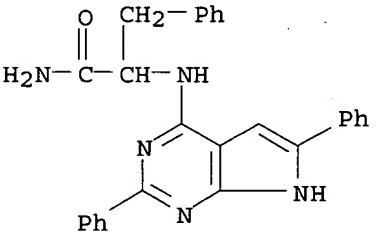
RN 343632-39-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



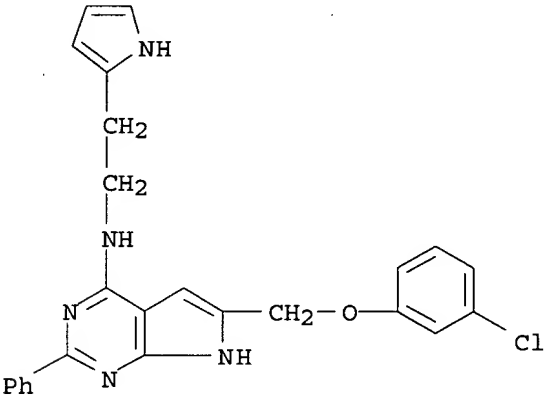
RN 343632-40-6 CAPLUS
CN Butanamide, 2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 343632-41-7 CAPLUS
CN Benzenepropanamide, α-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)

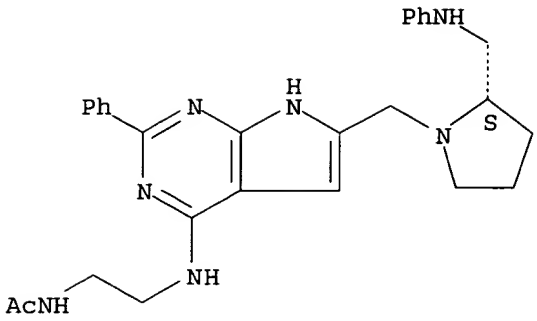


RN 343632-43-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



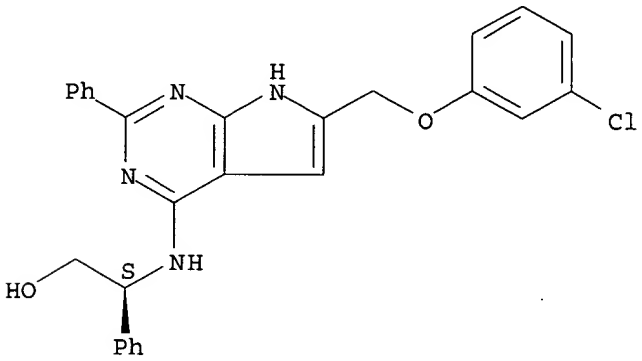
RN 343632-44-0 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

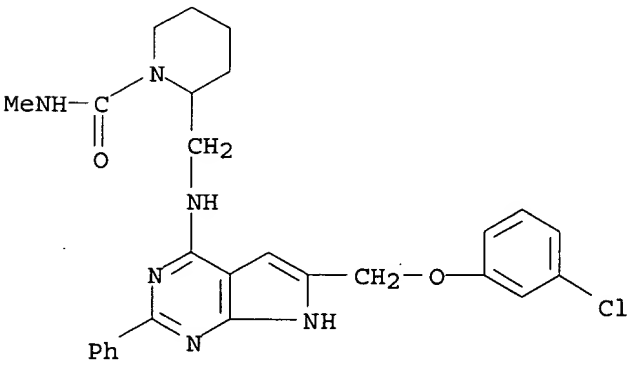


RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

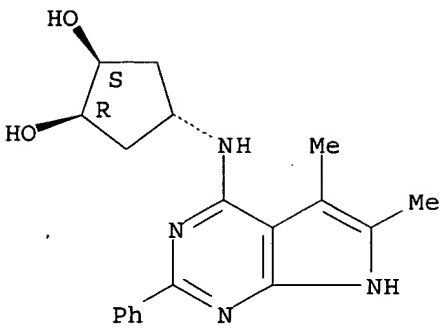


RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 343969-97-1 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:511094 CAPLUS
DN 139:85365
TI Preparation of pyrrolopyrimidine A2b selective antagonist compounds, method of synthesis and therapeutic use
IN Castelhano, Arlindo L.; Mckibben, Bryan; Steinig, Arno G.
PA Osi Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003053361	A2	20030703	WO 2002-US40890	20021220
WO 2003053361	A3	20031224		

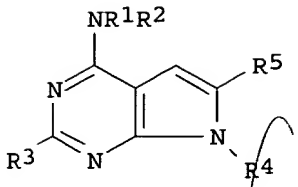
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

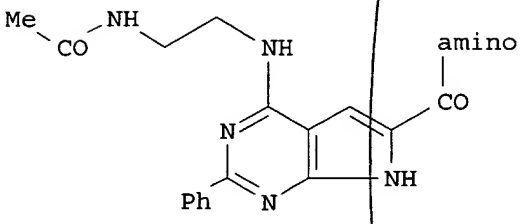
			US 2001-343443PP 20011220
US 2003229067	A1	20031211	US 2002-326005 20021220
			US 2001-343443PP 20011220

OS CASREACT 139:85365; MARPAT 139:85365
GI

Bad Dark



I



III

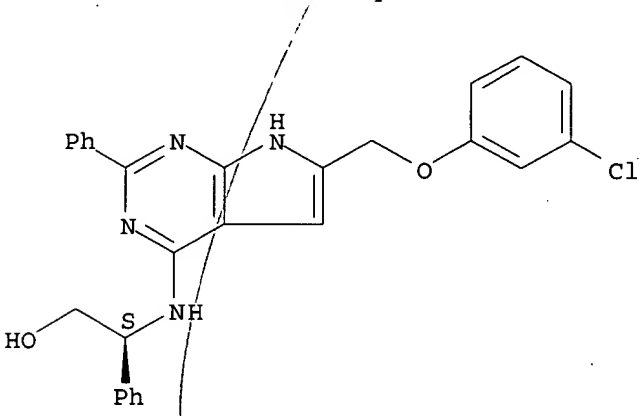
AB The subject invention provides pyrrolopyrimidines (shown as I; see below for variable definitions; e.g. N-[2-[6-[1-[2-(2-chlorophenyl)ethyl]piperidin-4-yloxymethyl]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino]ethyl]acetamide (II)) or a specific enantiomer thereof, or a specific tautomer thereof, or a pharmaceutically acceptable salt thereof, and a method for treating a disease associated with the A2b adenosine receptor. For I: R1 is a (un)substituted alkyl (substituent = hydroxyl, dihydroxy, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra). R2 is H or a (un)substituted alkyl (substituent = hydroxyl, dihydroxyl, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra), or R1, R2 and N together form a substituted piperazine, substituted azetidine, or a pyrrolidine ring substituted with -(CH2)2OH or -CH2C(O)OH. R3 is a (un)substituted Ph or a 5-6 membered heteroaryl ring, wherein the substituent is halogen, hydroxyl, cyano, (C1-C15)alkyl, (C1-C15)alkoxyl or -NRaRb; R4 is H or (un)substituted (C1-C15)alkyl; R5 is -(CH2)mOR6, -CHNOR7, -C(O)NR8R9, -(CH2)mC(O)OR10, -(CH2)kC(O)NR11R12; addnl. details are given in the claims. Radioligand binding assays yielded selectivities for the A2b receptor relative to the A1, A2a and A3 receptors for 9 examples of I, e.g. 26 times for II. About 26 example prepns. of I and intermediates and characterization data for hundreds of I and intermediates are included. For example, III can be prepared by reacting 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine with PhSO2Cl and a reducing agent in the presence of solvent to produce 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine, which was reacted with CO2 in the presence of LDA and a solvent to produce lithium 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylate, which was reacted with AcNHCH2CH2NH2 in the presence of solvent to give 4-(2-acetylaminoethylamino)-7-benzenesulfonyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, which was deprotected with a hydroxide base and subsequently condensed with amines.

IT **343632-45-1P**, (S)-2-[[6-(3-Chlorophenoxymethyl)-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-phenylethanol **343632-46-2P**, 2-[[[6-(3-Chlorophenoxymethyl)-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]piperidine-1-carboxylic acid methylamide **343632-81-5P**, (R)-2-[[6-(3-Chlorophenoxymethyl)-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-2-phenylethanol **553631-99-5P**, N-[2-[[6-(3-Chlorophenoxymethyl)-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]acetamide **553632-26-1P**, N-[2-[[6-(3-Chlorophenoxymethyl)-5-[(dimethylamino)methyl]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]acetamide **553634-62-1P**, N-[2-[[2-Phenyl-6-((S)-2-[(phenylamino)methyl]pyrrolidine-1-carbonyl)-7H-

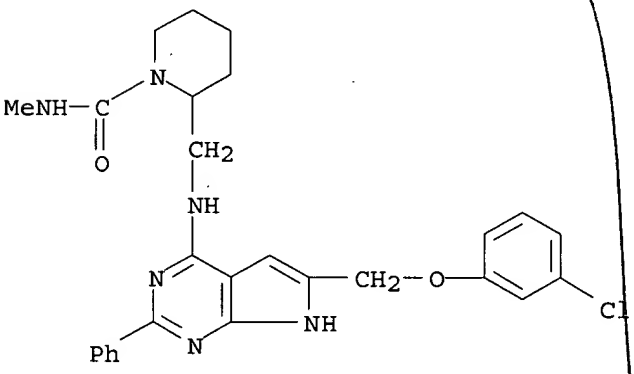
pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyrimidine A2b selective antagonist compds., method of synthesis and therapeutic use)

RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

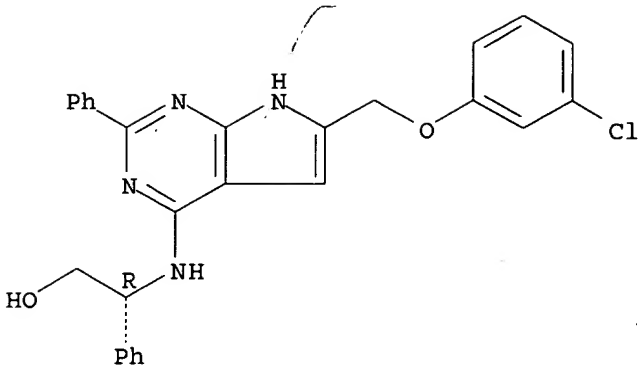


RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

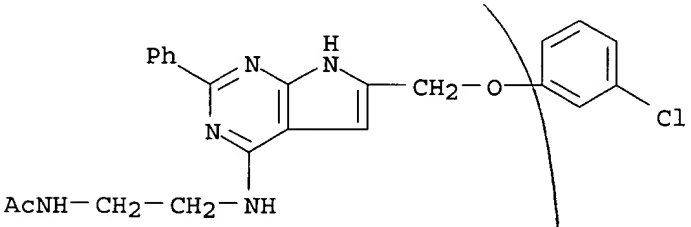


RN 343632-81-5 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βR)- (9CI) (CA INDEX NAME)

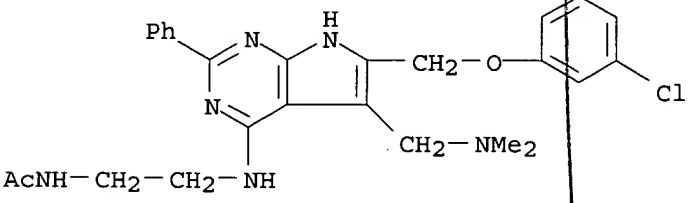
Absolute stereochemistry.



RN 553631-99-5 CAPLUS
CN Acetamide, N-[2-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

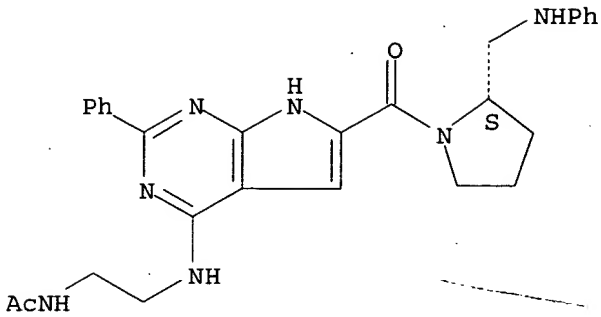


RN 553632-26-1 CAPLUS
CN Acetamide, N-[2-[[6-[(3-chlorophenoxy)methyl]-5-[(dimethylamino)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)



RN 553634-62-1 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]carbonyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:454286 CAPLUS
DN 139:36534
TI Preparation of arylpyrrolopyrimidines as adenosine A1 and A3 receptor inhibitors
IN Castelhano, Arlindo L.; McKibben, Bryan; Werner, Douglas S.; Witter, David
PA OSI Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

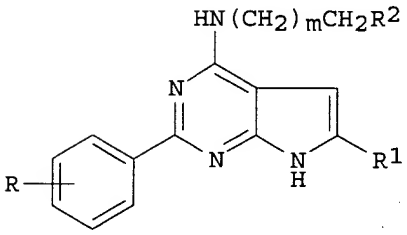
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003048120	A2	20030612	WO 2002-US38055	20021127
WO 2003048120	A3	20030904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-335273PP 20011130
US 2001-337274PP 20011130

OS MARPAT 139:36534
GI



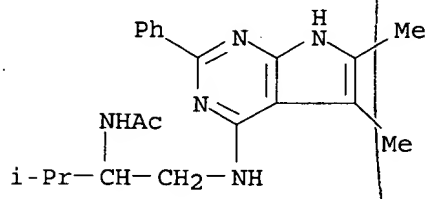
I

AB Arylpyrrolopyrimidines I [m = 0-3; R = halogen, alkyl, alkoxy, OH, NH2, alkylamino; R1 = H, (un)substituted alkyl, aryl, aralkyl; R2 = (un)substituted imidazole, pyrazole, attached through C] which

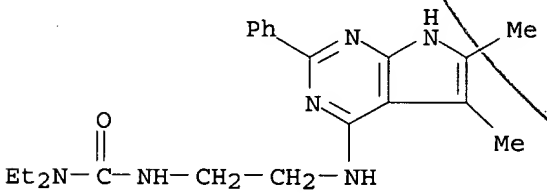
specifically inhibit the adenosine A1 and A3 receptors were prepared Thus, 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine was treated with histamine to give the 4-[2-(1H-imidazol-2-yl)ethyl]amino analog which had A3 inhibiting activity ≥10 times greater than that of reference compds.

IT **343632-31-5P 343632-32-6P 343632-33-7P**
343632-35-9P 343632-36-0P 343632-37-1P
343632-38-2P 343632-39-3P 343632-43-9P
343632-44-0P 343632-45-1P 343632-46-2P
541504-10-3P 541504-12-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpyrrolopyrimidines as adenosine A1 and A3 receptor inhibitors)

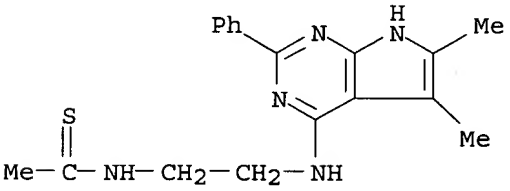
RN 343632-31-5 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



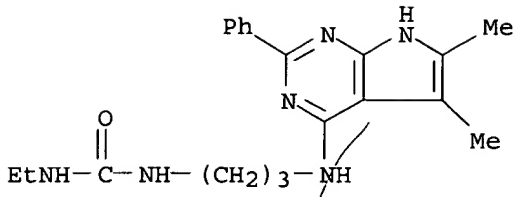
RN 343632-32-6 CAPLUS
CN Urea, N'-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



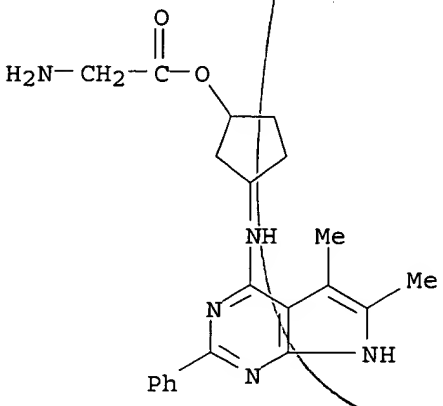
RN 343632-33-7 CAPLUS
CN Ethanethioamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



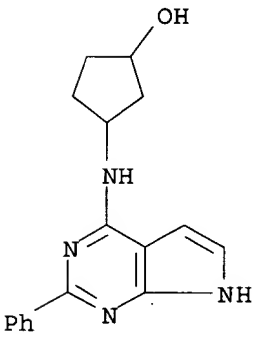
RN 343632-35-9 CAPLUS
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



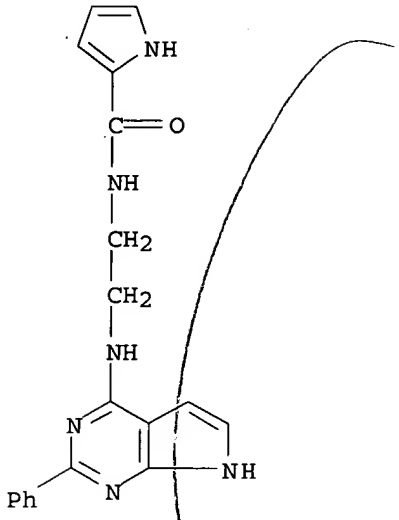
RN 343632-36-0 CAPLUS
CN Glycine, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester (9CI) (CA INDEX NAME)



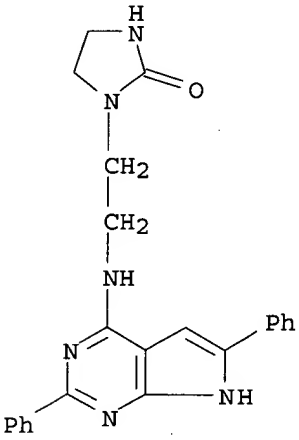
RN 343632-37-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



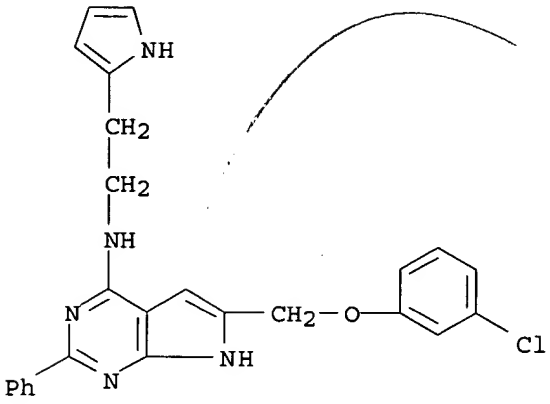
RN 343632-38-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 343632-39-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

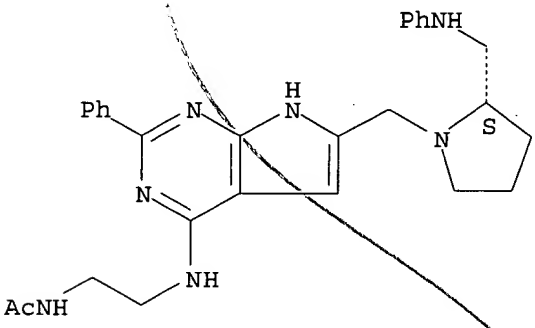


RN 343632-43-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



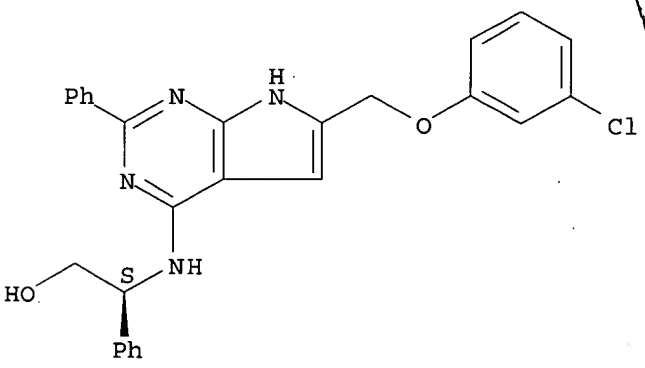
RN 343632-44-0 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

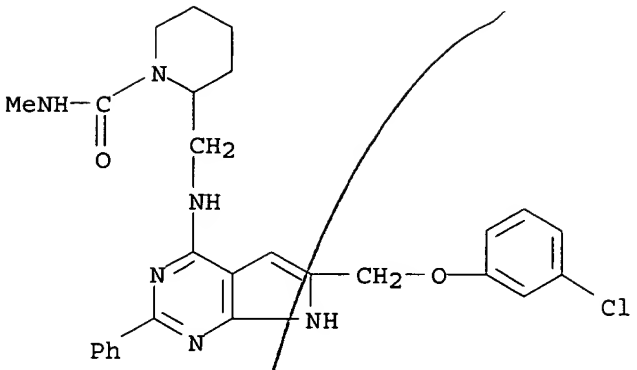


RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

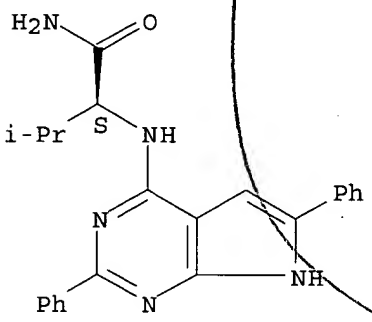


RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



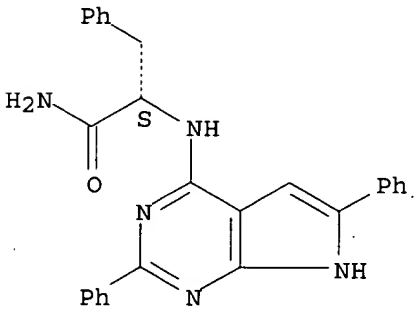
RN 541504-10-3 CAPLUS
CN Butanamide, 2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 541504-12-5 CAPLUS
CN Benzenepropanamide, α-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

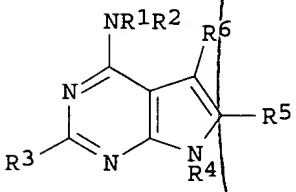


L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:300617 CAPLUS
DN 138:321287
TI Preparation of deazapurines as adenosine A3 receptor antagonists.
IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PA OSI Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 77 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003073708	A1	20030417	US 2001-6405	20011130
US 6673802	B2	20040106		
			US 2000-250748PP	20001201

OS MARPAT 138:321287
GI

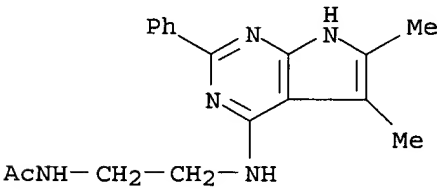


I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, aryl, aralkyl; R1R2 = atoms to form (substituted) heterocyclyl; R3 = (substituted) alkyl, aryl, aralkyl; R4 = H, (substituted) alkyl, aryl, aralkyl; R5, R6 = H, halo, (substituted) alkyl, aryl, alkylaryl; R4R5 or R5R6 = (substituted) heterocyclyl, carbocyclyl], were prepared Thus, 2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamine and histamine were heated at 120° in Me2SO for 6.5 h to give 43% [2-(3H-imidazol-4-yl)ethyl] [2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amine. The latter had 10 times the A3 receptor binding affinity of a reference compound

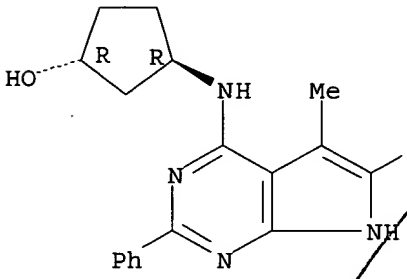
IT **246855-42-5P 251946-07-3P 251946-08-4P**
251946-09-5P 251946-37-9P 251946-38-0P
251946-39-1P 251946-40-4P 251946-41-5P
251946-45-9P 251946-46-0P 251946-55-1P
343632-31-5P 343632-32-6P 343632-35-9P
343632-37-1P 343632-38-2P 343632-39-3P
343632-40-6P 343632-41-7P 343632-43-9P
343632-44-0P 343632-45-1P 343632-46-2P
500736-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of deazapurines as adenosine A3 receptor antagonists)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



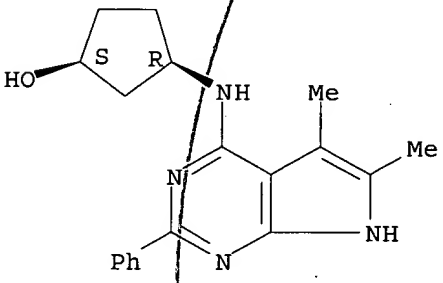
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



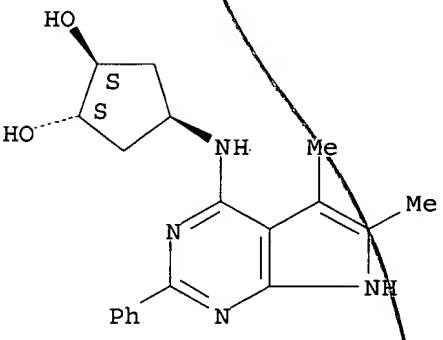
RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

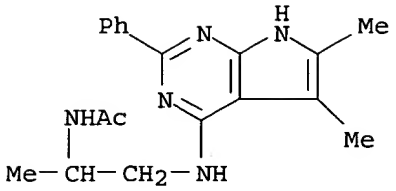


RN 251946-09-5 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

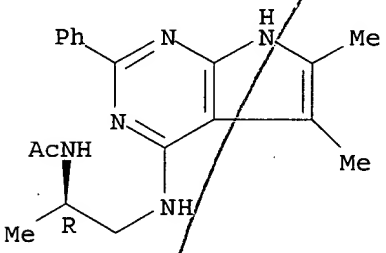


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



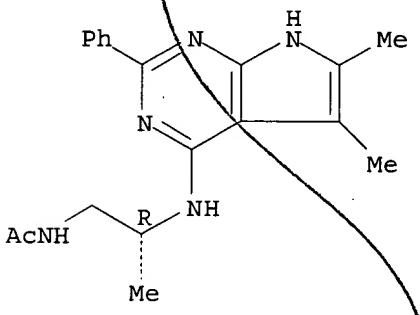
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



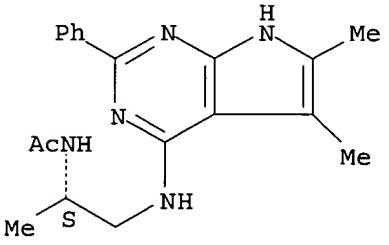
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



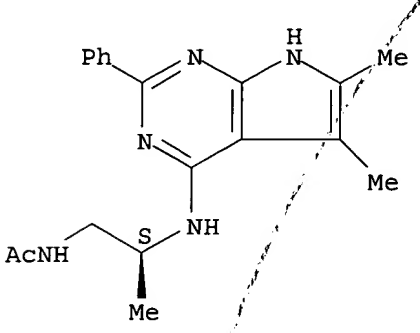
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

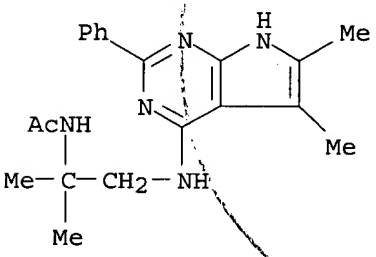


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

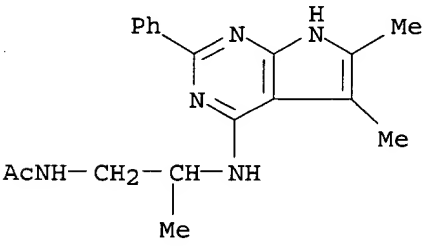
Absolute stereochemistry.



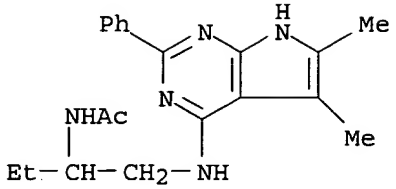
RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



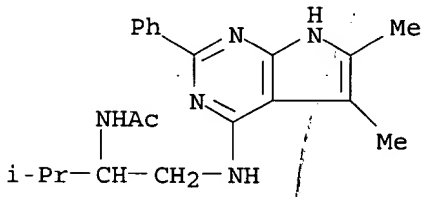
RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)



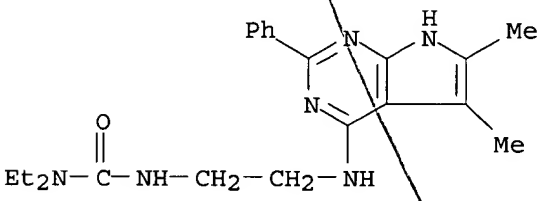
RN 251946-55-1 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- (9CI) (CA INDEX NAME)



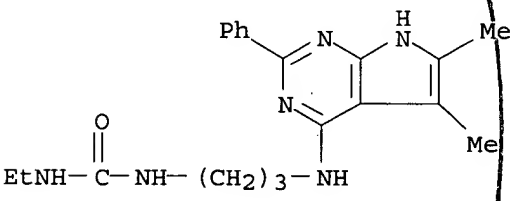
RN 343632-31-5 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



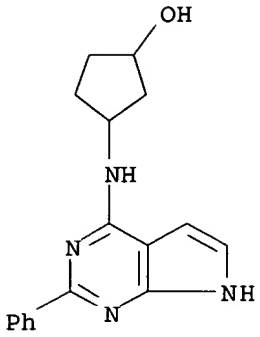
RN 343632-32-6 CAPLUS
CN Urea, N'-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



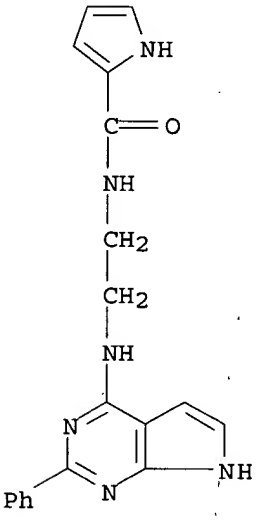
RN 343632-35-9 CAPLUS
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



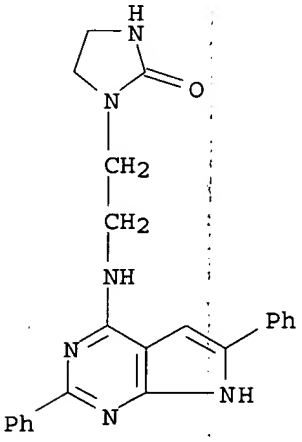
RN 343632-37-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



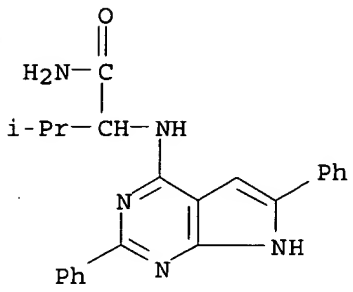
RN 343632-38-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



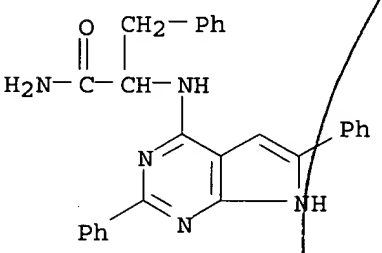
RN 343632-39-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



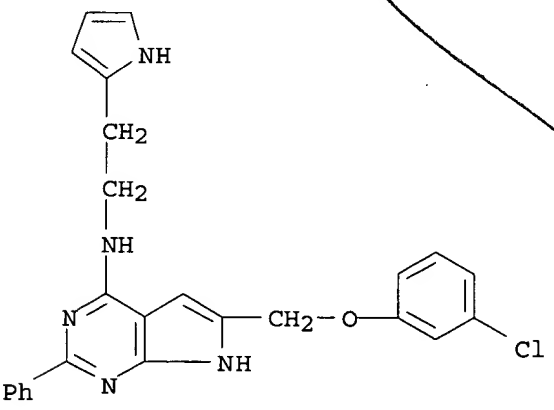
RN 343632-40-6 CAPLUS
CN Butanamide, 2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 343632-41-7 CAPLUS
CN Benzenepropanamide, α-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)

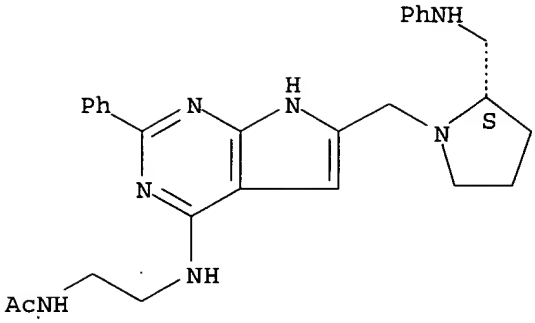


RN 343632-43-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



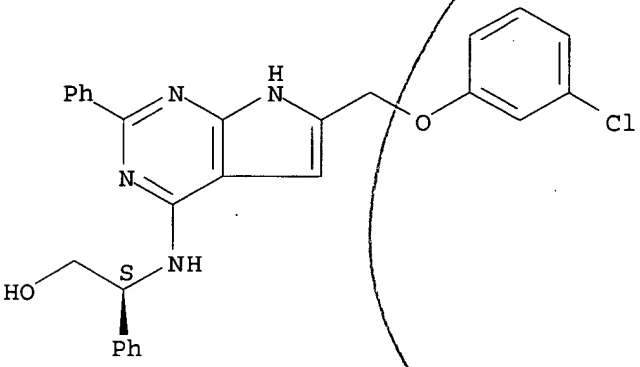
RN 343632-44-0 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

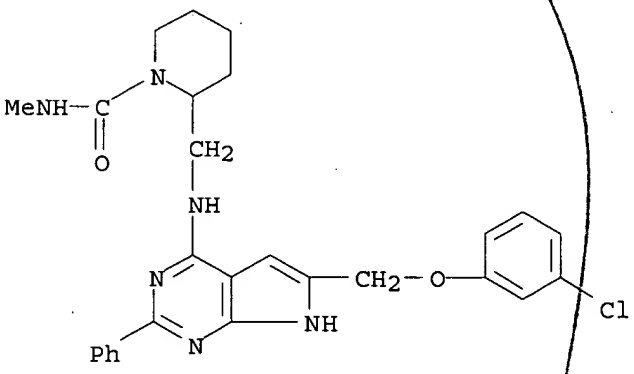


RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

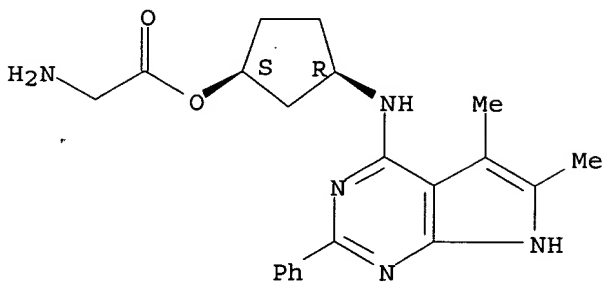


RN 500736-03-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

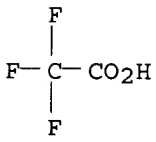
CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.



CM 2

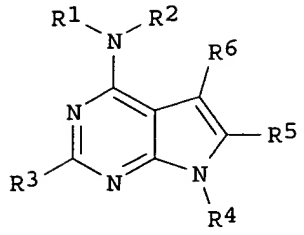
CRN 76-05-1
CMF C2 H F3 O2



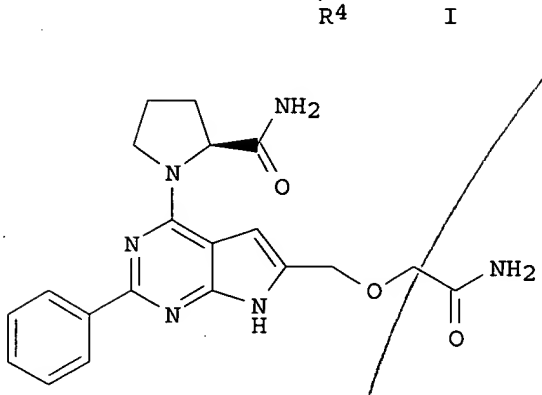
L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:174478 CAPLUS
DN 138:221598
TI Preparation of pyrrolo[2,3-d]pyrimidinamines as selective adenosine A1 receptor inhibitors for treatment of asthma, COPD, and other conditions
IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PA OSI Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 79 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003045536	A1	20030306	US 2001-280	20011130
	US 6680324	B2	20040120		
				US 2000-250895PP	20001201

OS MARPAT 138:221598
GI



I



II

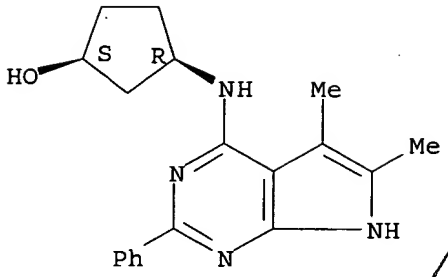
AB Title diazapurinamines I [wherein R1, R2, and R4 = independently H or (un)substituted alkyl(aryl) or aryl; or NR1R2 = (un)substituted heterocyclyl; R3 = (un)substituted alkyl(aryl), aryl, CO2H, carboxy esters, or carboxamides; or C2R3R4 or C2R5R6 = (un)substituted carbocyclyl or heterocyclyl; R5 and R6 = independently H, halo, or (un)substituted alkyl(aryl) or aryl; and pharmaceutically acceptable salts and prodrugs thereof] were prepared as adenosine A1 specific inhibitors. For example, 4-chloro-5-methyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidine was protected with di-t-Bu dicarbonate (80%), brominated (84%), coupled with anhydrous Me glycolate (99%), coupled with L-prolinamide (92%), and deprotected (93%) to give II. The latter exhibited adenosine A1 receptor binding equal to or surpassing that of reference compds. and is expected to have better water solubility (cLogP = 1.5) than reference compds. (cLogP = 3.8). Efficacy and structure activity profiles of diazapurines of the invention are also disclosed. Thus, I are useful for the treatment of asthma, chronic obstructive pulmonary disease (COPD), allergic rhinitis, upper respiratory disorder, and congestive heart failure (no data).

IT **251946-08-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(A1 receptor inhibitor; preparation of pyrrolopyrimidinamines adenosine A1 receptor inhibitors from aminocyanopyrroles for treatment of asthma, COPD, and other conditions)

RN 251946-08-4 CAPLUS

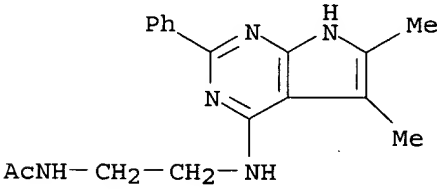
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



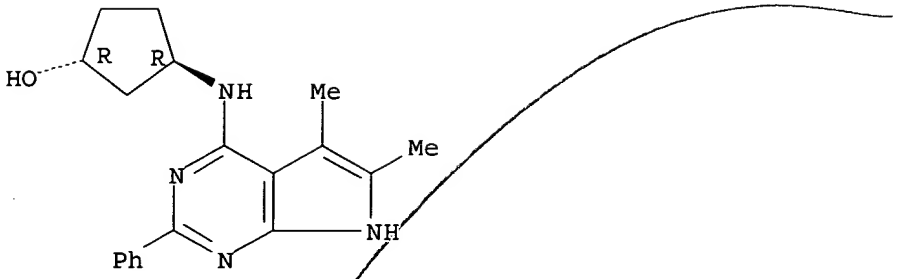
IT **246855-42-5P**, 4-[(2-Acetylaminoethyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-07-3P**, 4-[(3-trans-Hydroxycyclopentyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-37-9P**, 4-[(2-Acetylaminopropyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-38-0P**, (R)-4-[(2-Acetylaminopropyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-39-1P**, (R)-4-[(1-Methyl-2-acetylaminoethyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-40-4P**, (S)-4-[(2-Acetylaminopropyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-41-5P**, (S)-4-[(1-Methyl-2-acetylaminoethyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-45-9P**, 4-[(2-Methyl-2-acetylaminopropyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-46-0P**, 4-[(1-Methyl-2-acetylaminoethyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **251946-55-1P**, 4-[(2-Acetamidobutyl)amino]-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine **343632-20-2P 343969-97-1P** **500736-03-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A1 receptor inhibitor; preparation of pyrrolopyrimidinamines adenosine A1 receptor inhibitors from aminocyanopyrroles for treatment of asthma, COPD, and other conditions)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

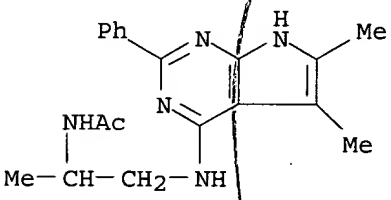


RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

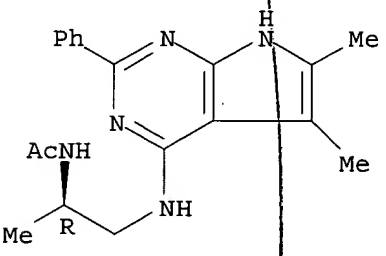


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



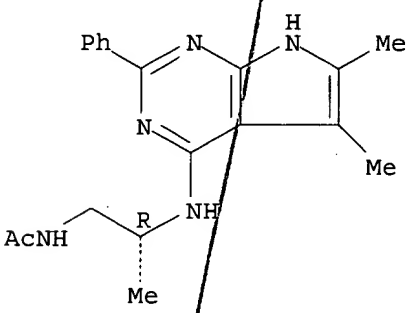
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



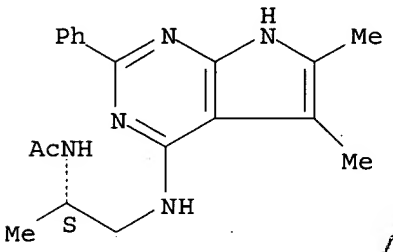
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



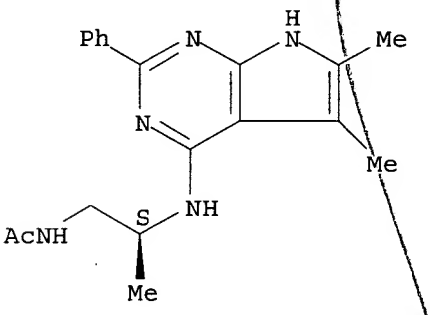
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

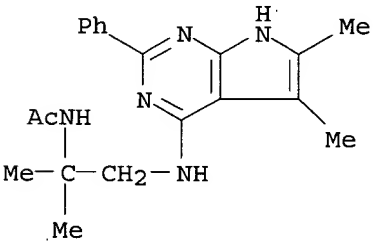


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

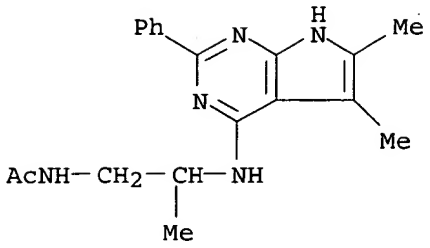
Absolute stereochemistry.



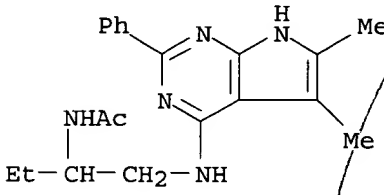
RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



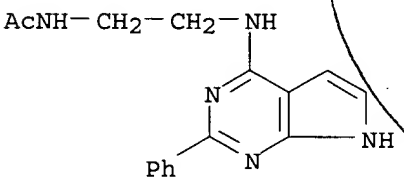
RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 251946-55-1 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- (9CI) (CA INDEX NAME)

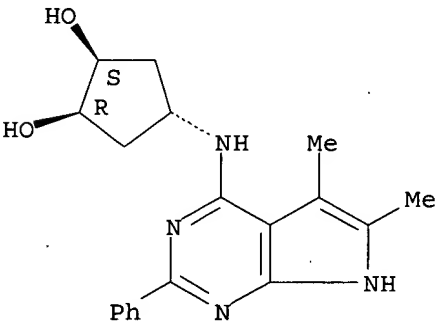


RN 343632-20-2 CAPLUS
CN Acetamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 343969-97-1 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

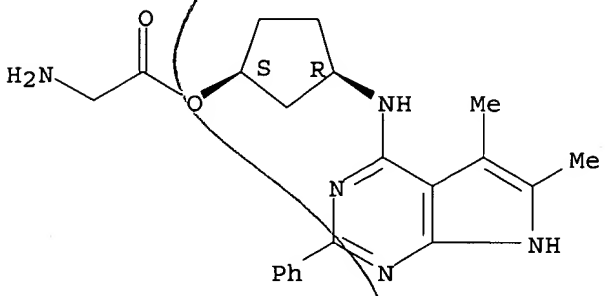


RN 500736-03-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

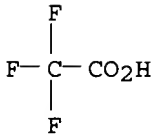
CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:555495 CAPLUS
DN 137:109485
TI Preparation of pyrrolopyrimidinylprolineamides and analogs as adenosine receptor antagonists
IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PA Osi Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 320 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002057267	A1	20020725	WO 2001-US45280	20011130

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US 1999-169037PP 19991202
US 2000-728316 A 20001201

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PATENT FAMILY INFORMATION:

FAN 2002:368992

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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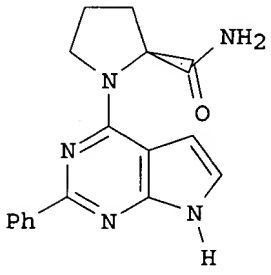

I

AB Title compds., e.g., I, were prepared Data for biol. activity of title compds. were given.

IT **246855-42-5P 251946-07-3P 251946-08-4P**
251946-37-9P 251946-38-0P 251946-39-1P
251946-40-4P 251946-41-5P 251946-45-9P
251946-46-0P 251946-52-8P 251946-55-1P
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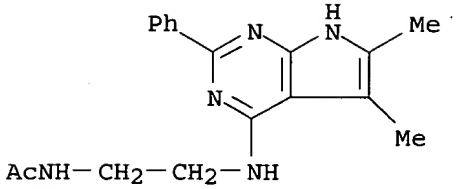
343632-37-1P 343632-38-2P 343632-39-3P
343632-40-6P 343632-41-7P 343632-43-9P
343632-44-0P 343632-45-1P 343632-46-2P
343969-97-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrimidinylprolineamides and analogs as adenosine receptor antagonists)

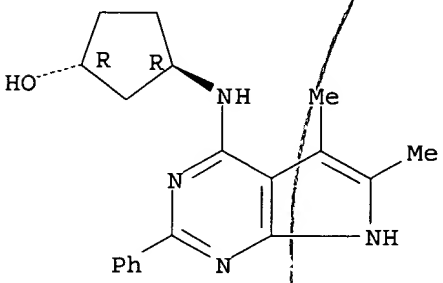
RN 246855-42-5 CAPLUS

CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



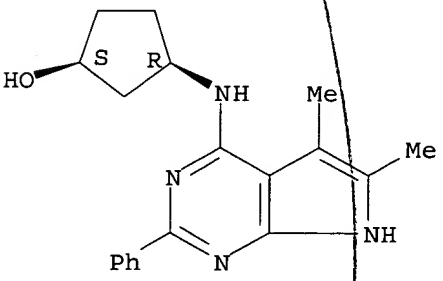
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

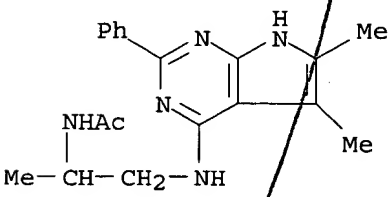


RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

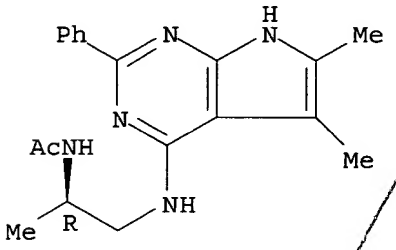


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



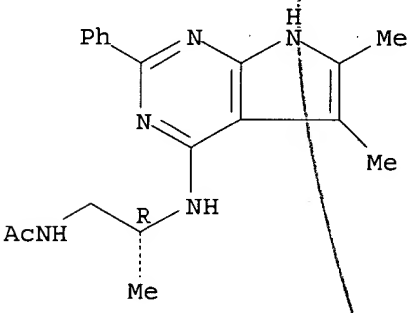
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



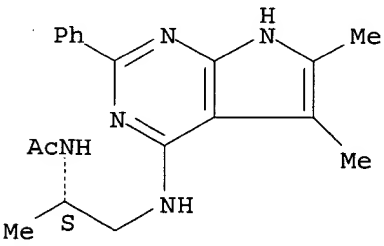
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



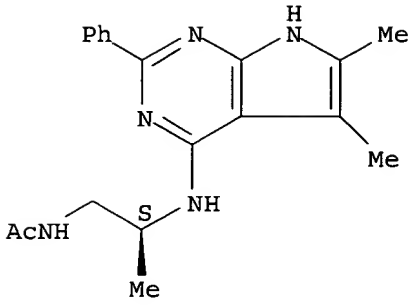
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

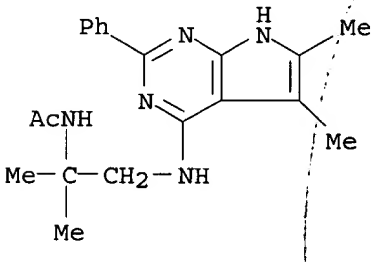


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

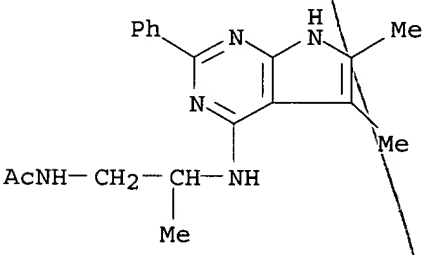
Absolute stereochemistry.



RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

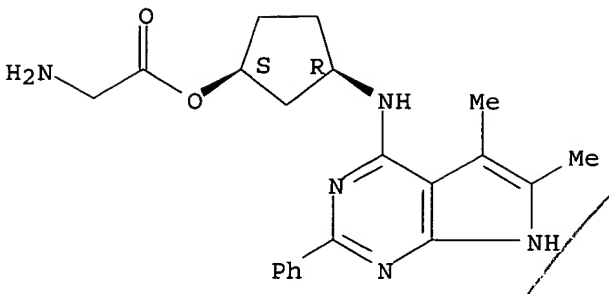


RN 251946-52-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.



CM 2

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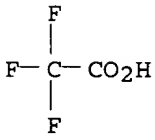

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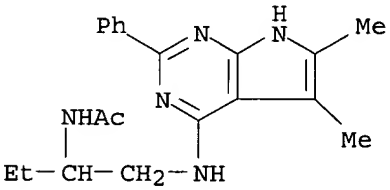

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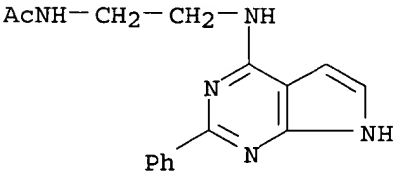

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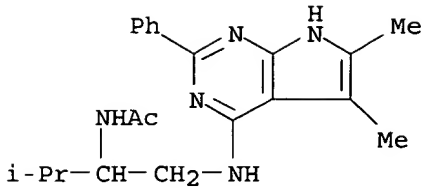
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



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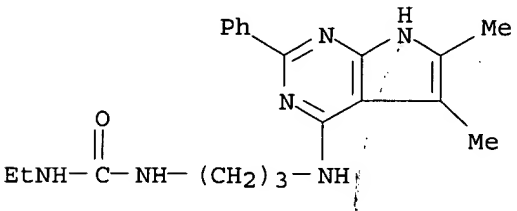
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



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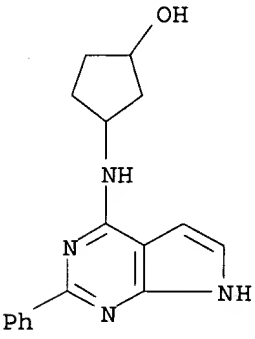
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



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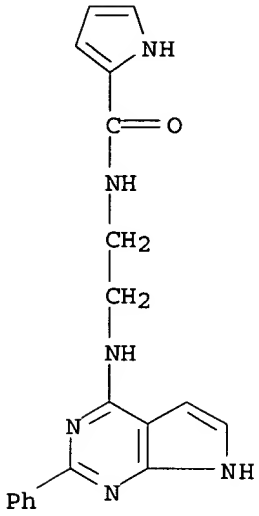
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



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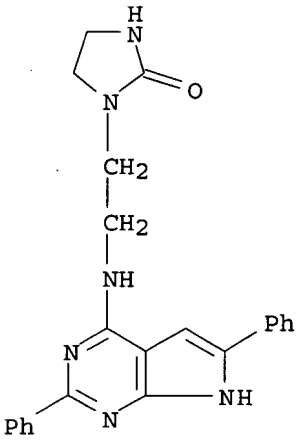
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



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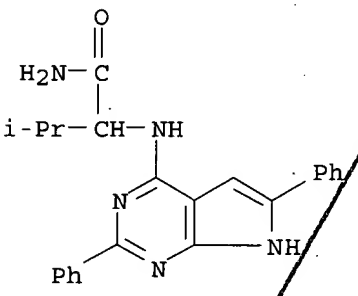

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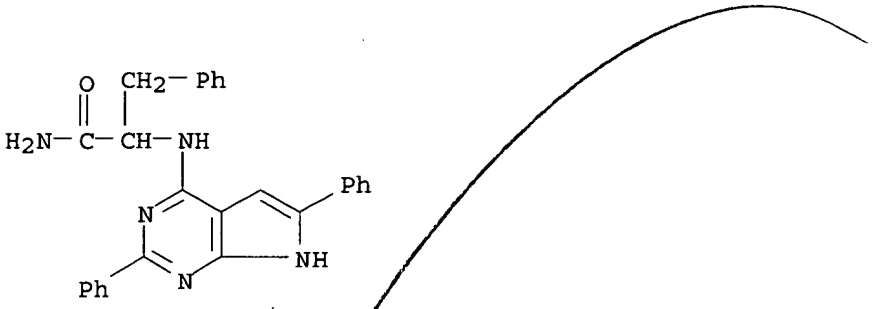

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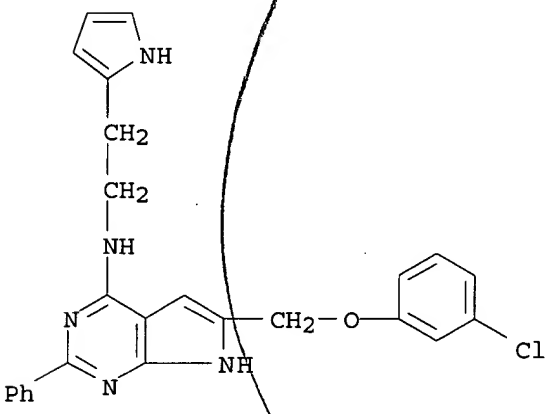
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



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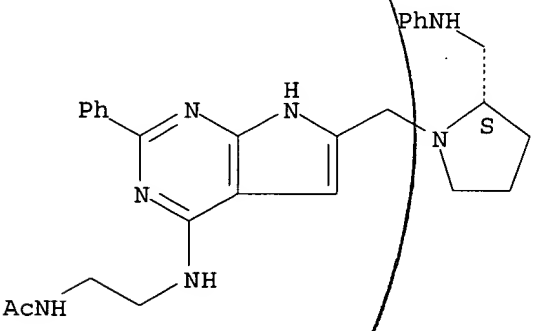
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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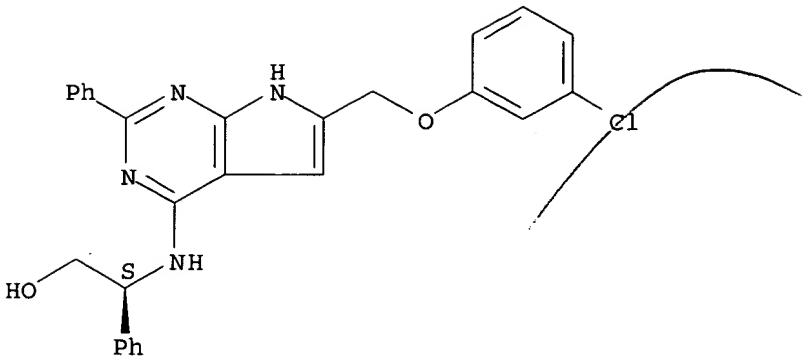
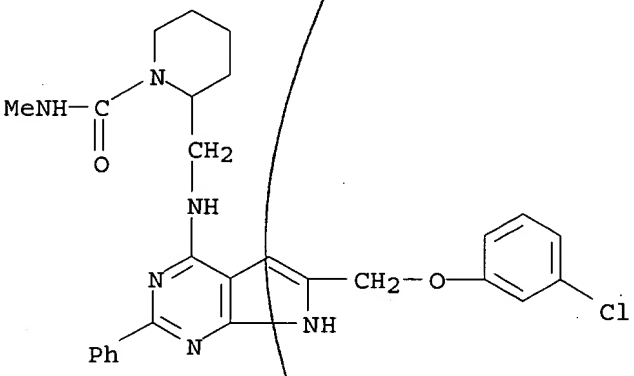
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



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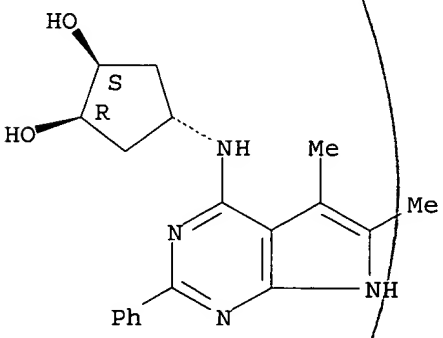
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:540257 CAPLUS

DN 137:109288
TI Preparation of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor
IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PA USA
SO U.S. Pat. Appl. Publ., 83 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 2002:368992

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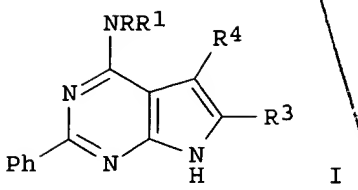

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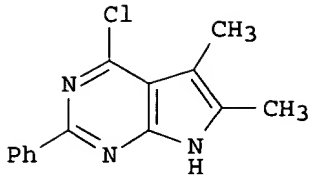

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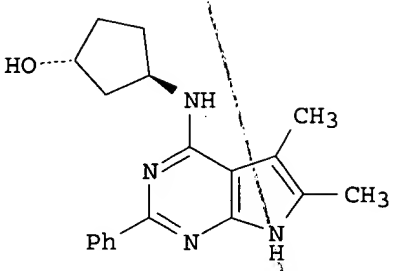

III

AB Pyrrolopyrimidines I [R = 3-hydroxycyclopentylamino ethylamino carbonylamino Pr, N,N-diethylamino carbonylamino Et, thioacetamido Et, 3-amino acetyloxy cyclopentyl, 3-hydroxycyclopentyl, 2-pyrrolyl carbonyl aminoethyl, 2-imidazolinone Et, 1-aminocarbonyl-2-methylpropyl, 1-aminocarbonyl-2-Ph Et, 3-hydroxyazetidino, 2-imidazoleethyl, acetamidoethyl, 1-(R)-phenyl-2-hydroxyethyl, N-methylaminocarbonyl pyridyl-2-methyl; R1 = H; RR1N = 3-hydroxypyrrolidino, 3-methyloxy carbonylmethyl pyrrolidino, 3-aminocarbonylmethyl pyrrolidino, 3-hydroxymethyl piperidino; R3, R4 = H, (un)substituted alkyl, aryl] are prepared as selective inhibitors of adenosine receptors, particularly the adenosine A3 receptor, for the treatment of diseases such as asthma, diarrhea, chronic obstructive pulmonary disease, allergic rhinitis, or for the treatment of eye damage caused either by disease or injury. Human adenosine receptors are transformed into yeast; the modified yeast are used to assay the invention compds. I for their adenosine receptor binding and selectivities. E.g., 1-(1-phenylethyl)-2-amino-3-cyano-4,5-dimethylpyrrole is acylated with PhCOCl to give the benzamide which undergoes cyclocondensation with concentrated H2SO4 in MeOH to give a pyrrolopyrimidinone; removal of the phenethyl group with polyphosphoric acid and chlorination of the pyrrolopyrimidinone with POCl3 gives the intermediate chloropyrrolopyrimidine II. E.g., addition of amines such as trans-3-amino-1-cyclopentanol to II in DMSO gives aminopyrrolopyrimidines such as III. III has a Ki for the adenosine A1 receptor of 29 nM and a Ki for the adenosine A3 receptor of 3.1 nM while binding to the adenosine A2a and A2b receptors with Ki values of 191 nM and 1143 nM, resp. Formulations of these compds. are claimed (no data). Methods for the preparation of I from the acylation of aminopyrroles with acyl chlorides followed by cyclocondensation and deprotection, chlorination, and substitution of the chlorine atom with an amine are claimed.

IT **246855-42-5P 246855-48-1P 251946-07-3P**
251946-08-4P 251946-37-9P 251946-38-0P
251946-39-1P 251946-40-4P 251946-41-5P
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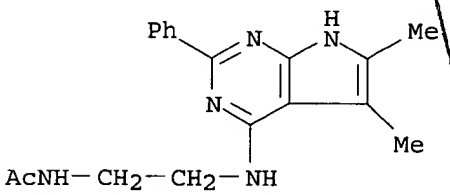
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(invention compound; preparation of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor for the treatment of diseases such as diarrhea, allergic rhinitis, and eye damage resulting from injuries or disease)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



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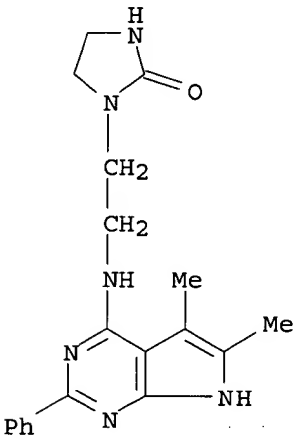
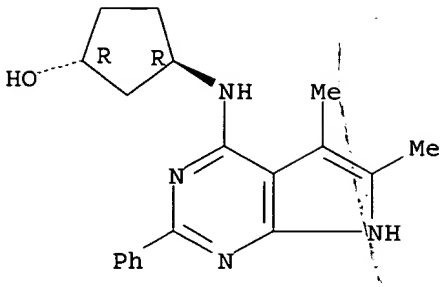


RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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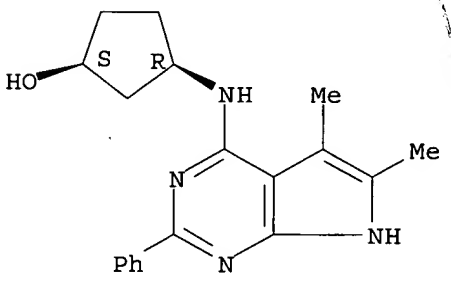
Relative stereochemistry.



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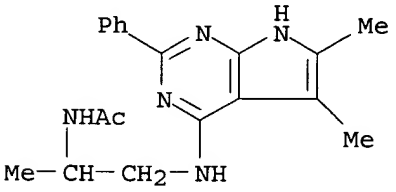

RN 251946-38-0 CAPLUS
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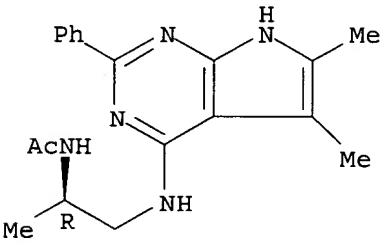
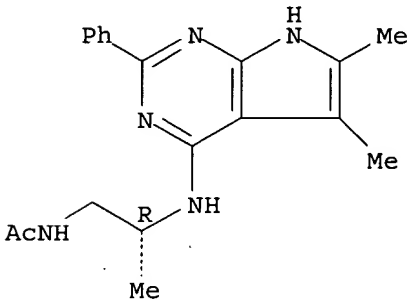
Absolute stereochemistry.



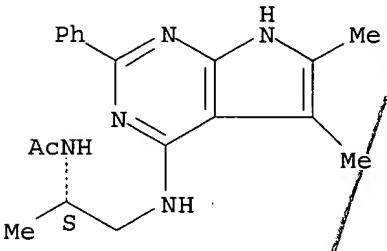
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



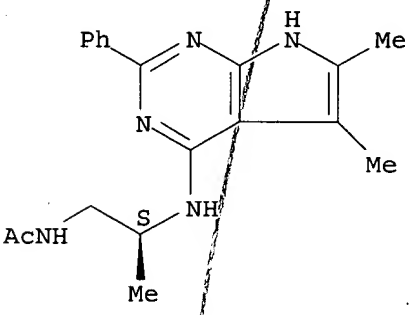
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

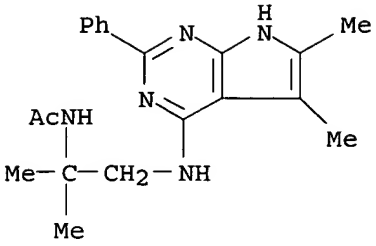


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

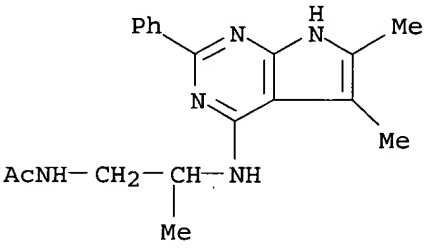
Absolute stereochemistry.



RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

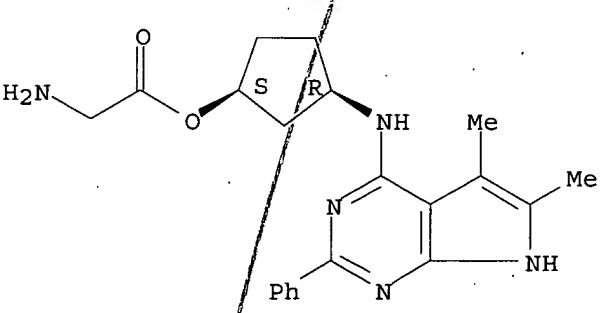


RN 251946-52-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

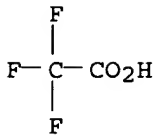
CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.

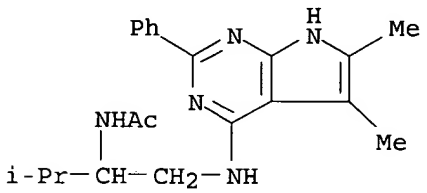


CM 2

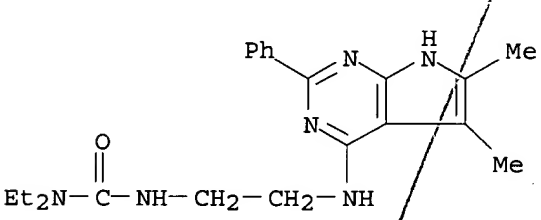
CRN 76-05-1
CMF C2 H F3 O2



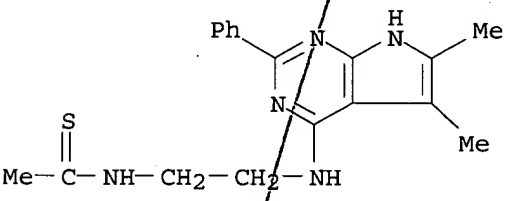
RN 343632-31-5 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



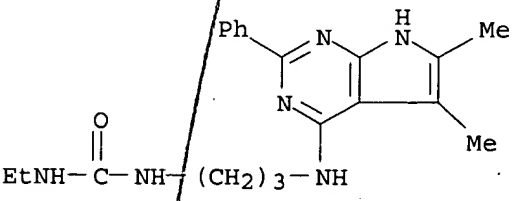
RN 343632-32-6 CAPLUS
CN Urea, N'-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



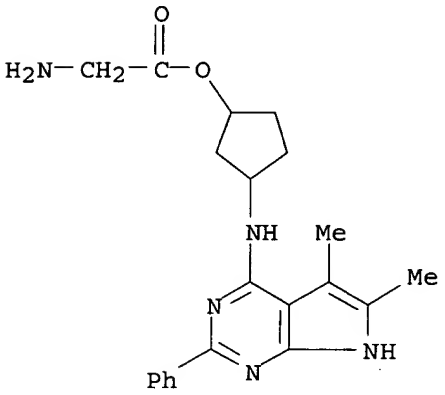
RN 343632-33-7 CAPLUS
CN Ethanethioamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



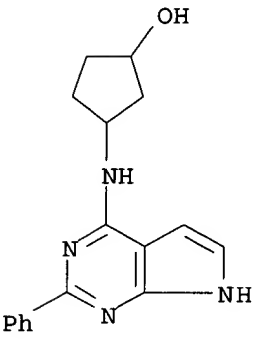
RN 343632-35-9 CAPLUS
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



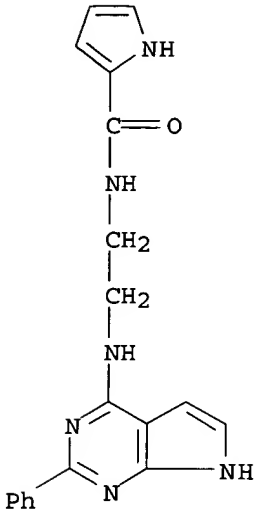
RN 343632-36-0 CAPLUS
CN Glycine, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester (9CI) (CA INDEX NAME)



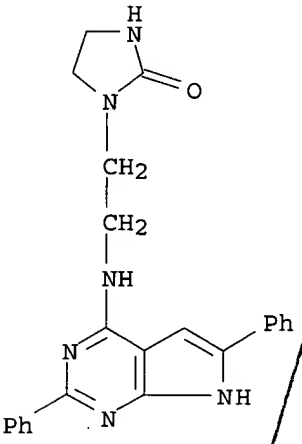
RN 343632-37-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



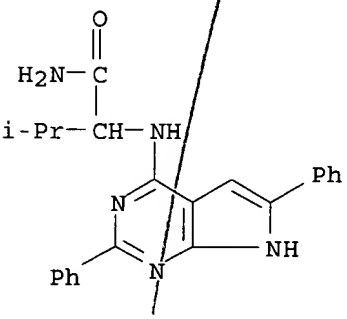
RN 343632-38-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 343632-39-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

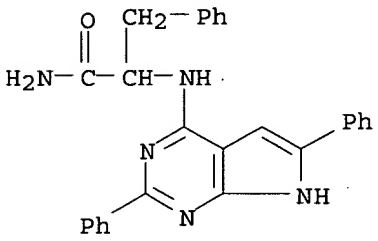


RN 343632-40-6 CAPLUS
CN Butanamide, 2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-3-methyl- (9CI) (CA INDEX NAME)

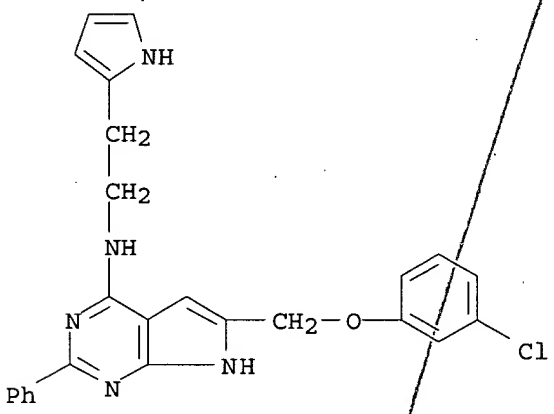


RN 343632-41-7 CAPLUS

CN Benzenepropanamide, α-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)

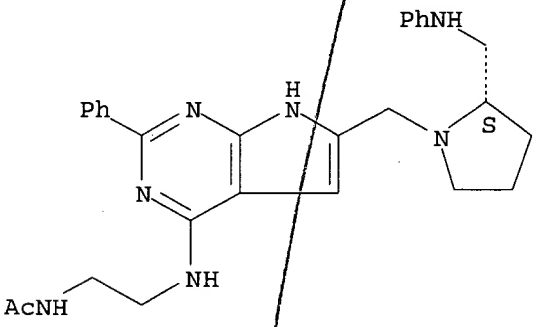


RN 343632-43-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



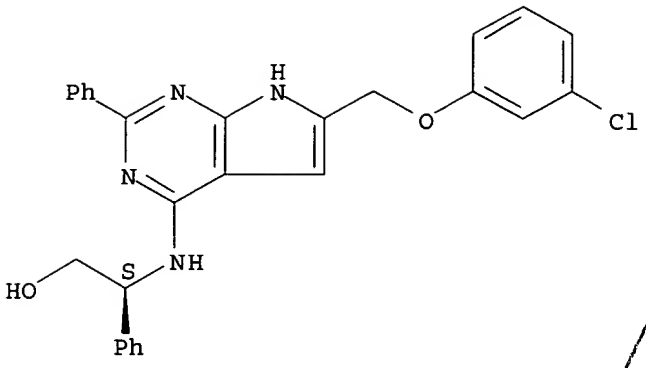
RN 343632-44-0 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

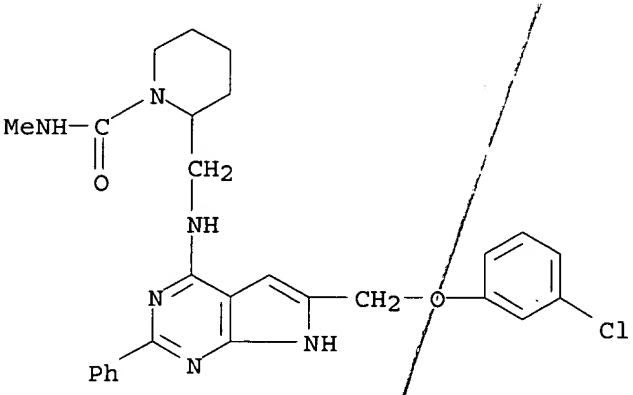


RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

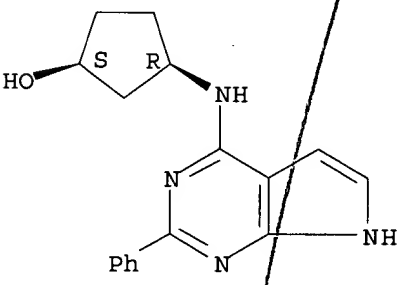


RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)



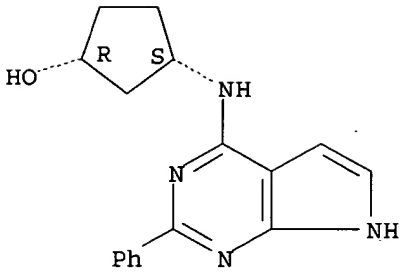
RN 343632-77-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



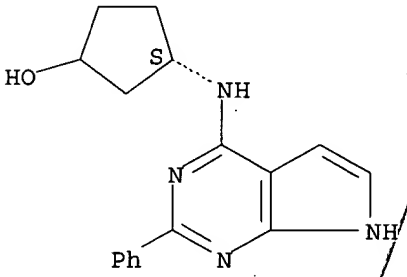
RN 343632-78-0 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



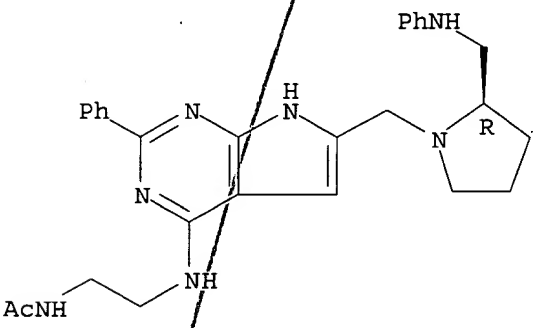
RN 343632-79-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



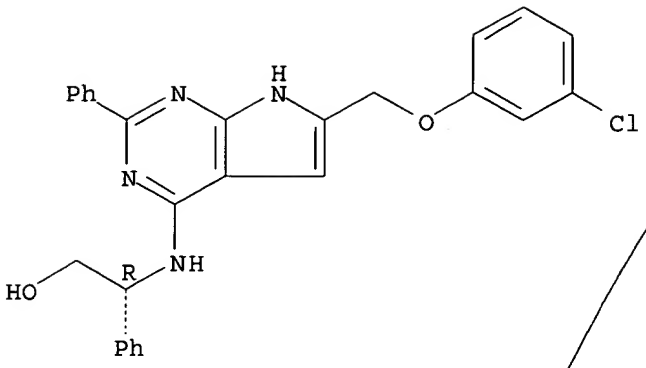
RN 343632-80-4 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2R)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



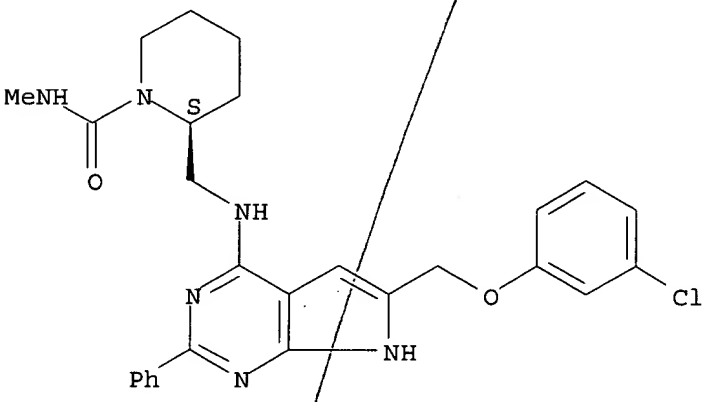
RN 343632-81-5 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



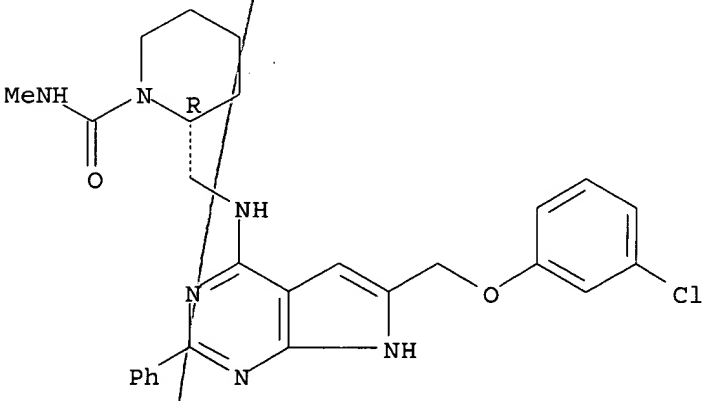
RN 343632-82-6 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



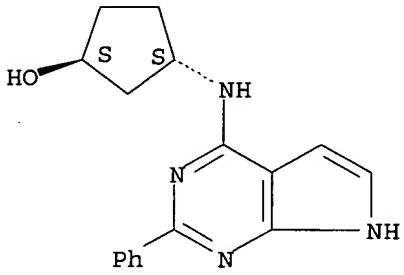
RN 343632-83-7 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



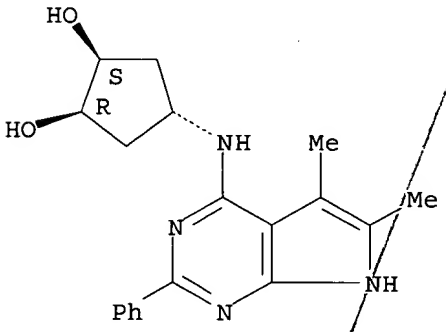
RN 343633-16-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



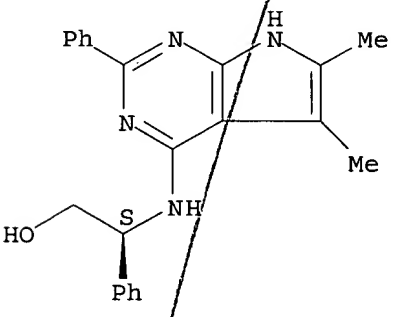
RN 343969-97-1 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 443118-58-9 CAPLUS
CN Benzeneethanol, β-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:368992 CAPLUS
DN 136:386128

TI Synthesis and use of substituted pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists

IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PA OSI Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 79 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002058667	A1	20020516	US 2000-728316	20001201
	US 6680322	B2	20040120		
				US 1999-168803PP	19991202
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PATENT FAMILY INFORMATION:

FAN 2002:540257

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002094974	A1	20020718	US 2000-728616	20001201
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US 2000-728316 A 20001201
US 2000-728616 A 20001201
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NO 2003002482 A 20030728 NO 2003-2482 20030602

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US 2000-728616 A 20001201
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057267	A1	20020725	WO 2001-US45280	20011130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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US 2002094974 A1 20020718 US 2000-728616 20001201
US 1999-169036PP 19991202
US 2003036545 A1 20030220 US 2000-728607 20001201
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WO 2001-US45280W 20011130

NO 2003002482 A 20030728 NO 2003-2482 20030602

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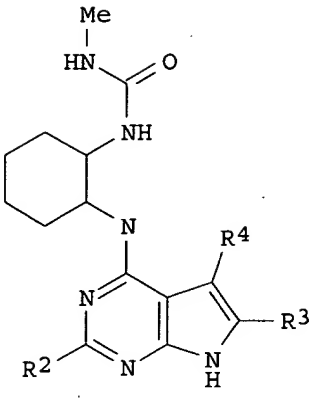

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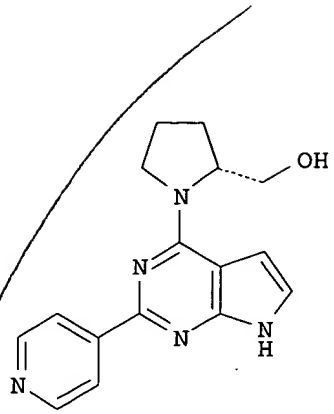


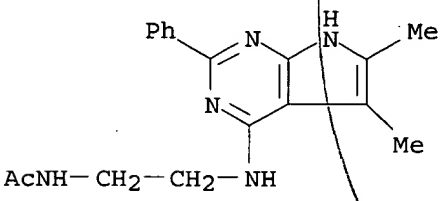
II

AB Title compds. I and analogs [R2 = 5-6 membered aromatic ring; R3-4 = H, alkyl] were prepared Over 100 examples were provided. Intermediate 4-chloro-7H-pyrrolo[2,3-d]pyrimidines were prepared by several routes from appropriately substituted cyano-pyrroles. Thus, 4-chloro-2-(4-pyridyl)-7H-pyrrolo[2,3-d]pyrimidine hydrochloride was reacted with D-prolinol (2.3 mol equiv) in DMSO at 120°C for 18 h to yield II in 13% yield after purification Compound I [R2 = Ph; R3-4 = Me] exhibited 10-fold selectivity for binding to the adenosine A1 receptor than to A2a, A2b or A3 receptors. ClogP values were determined for selected example compds. I are useful for the treatment of COPD, allergic rhinitis, etc.

IT **246855-42-5P**, Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- **251946-07-3P**, Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-,(1R,3R)-rel **251946-08-4P**, Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-,(1R,3S)-rel **251946-09-5P 251946-37-9P**, Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- **251946-38-0P**, Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- **251946-39-1P**, Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- **251946-40-4P**, Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- **251946-41-5P**, Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- **251946-45-9P**, Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- **251946-46-0P**, Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- **251946-52-8P**, Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) **251946-55-1P**, Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- **343632-20-2P**, Acetamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- **343632-69-9P**, 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- **343632-77-9P**, Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3R)- **343632-78-0P**, Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)- **343632-79-1P**, Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (3S)- **343632-80-4P**, Acetamide, N-[2-[[2-phenyl-6-[[(2R)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- **343632-81-5P**, Benzeneethanol,

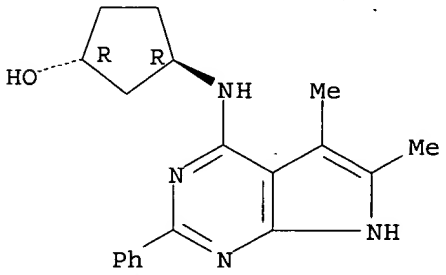
β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βR)- **343632-82-6P**, 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2S)- **343632-83-7P**, 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2R)- **343633-16-9P**, Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3S)- **343969-97-1P**, 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of substituted 7H-pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



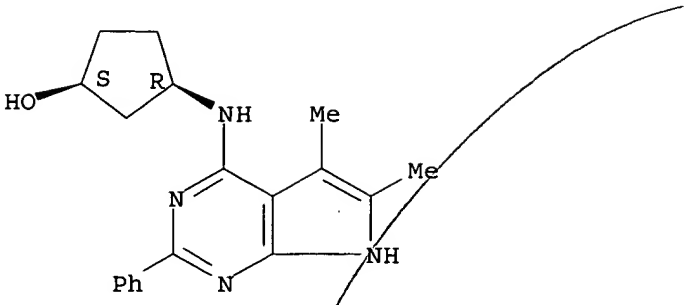
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



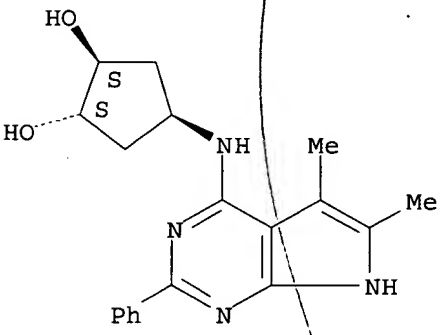
RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

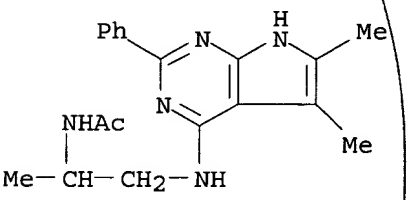


RN 251946-09-5 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

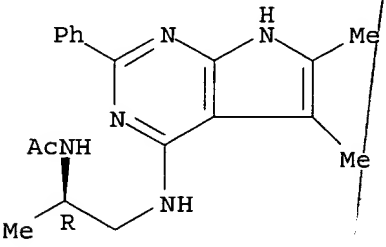


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



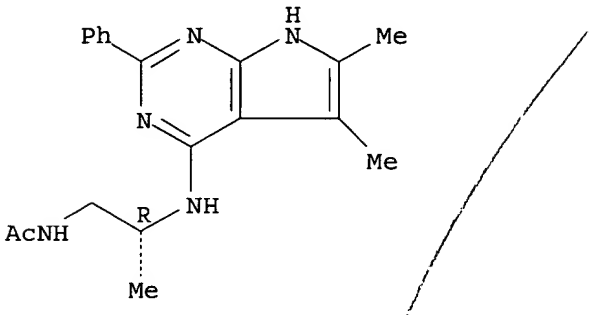
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



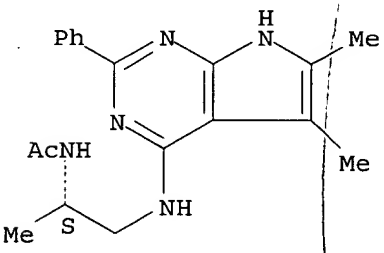
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



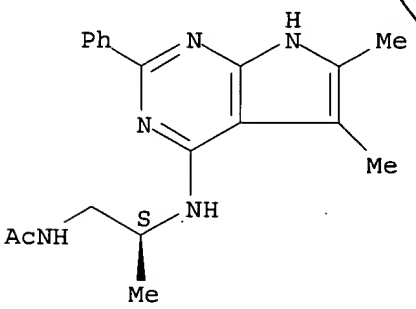
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

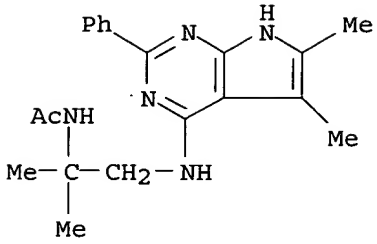


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

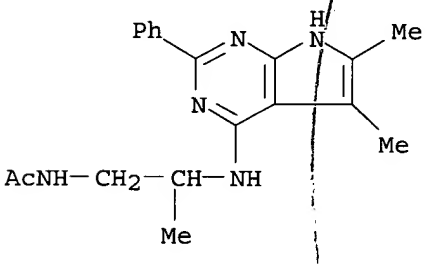
Absolute stereochemistry.



RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

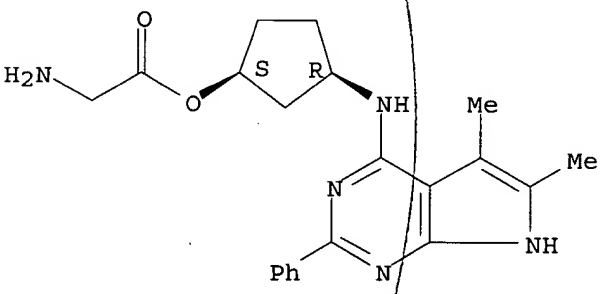


RN 251946-52-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251946-51-7
CMF C21 H25 N5 O2

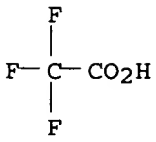
Relative stereochemistry.



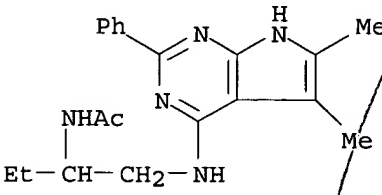
CM 2

CRN 76-05-1
CMF C2 H F3 O2

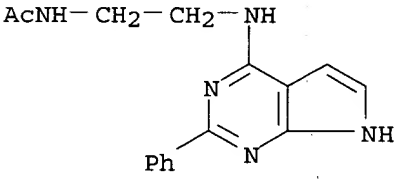
10/035753



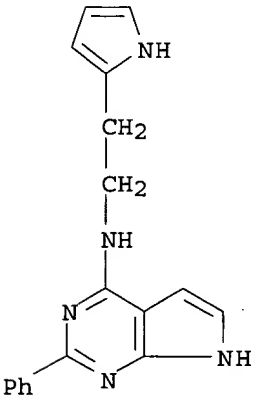
RN 251946-55-1 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- (9CI) (CA INDEX NAME)



RN 343632-20-2 CAPLUS
CN Acetamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)

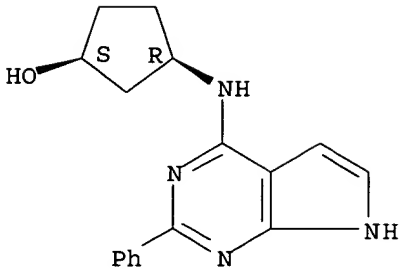


RN 343632-69-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



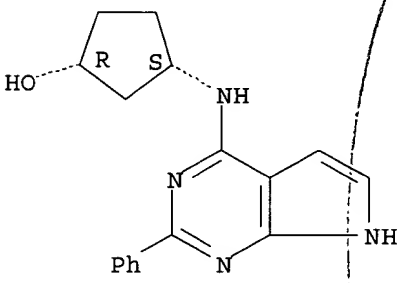
RN 343632-77-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



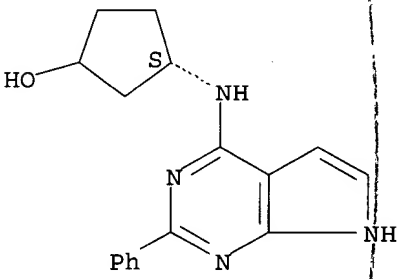
RN 343632-78-0 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



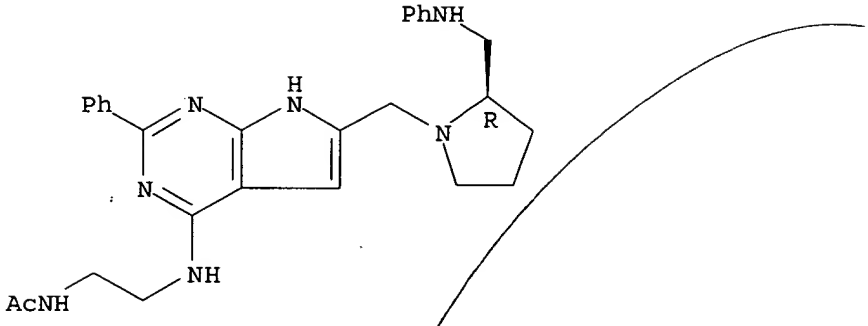
RN 343632-79-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



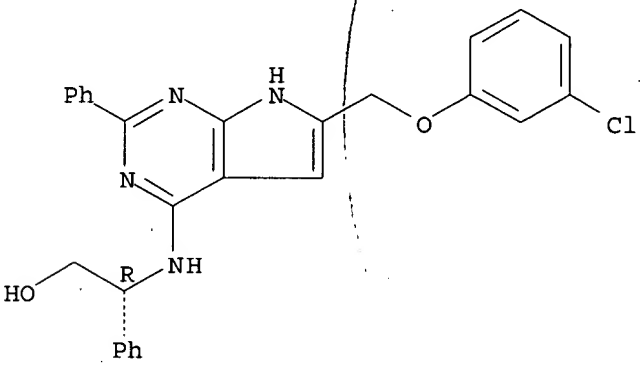
RN 343632-80-4 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2R)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



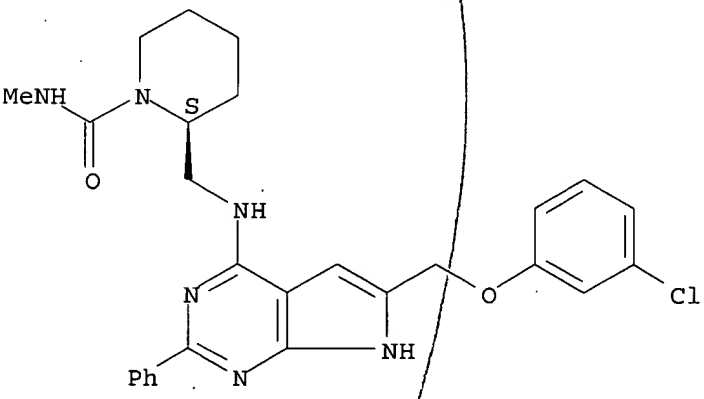
RN 343632-81-5 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



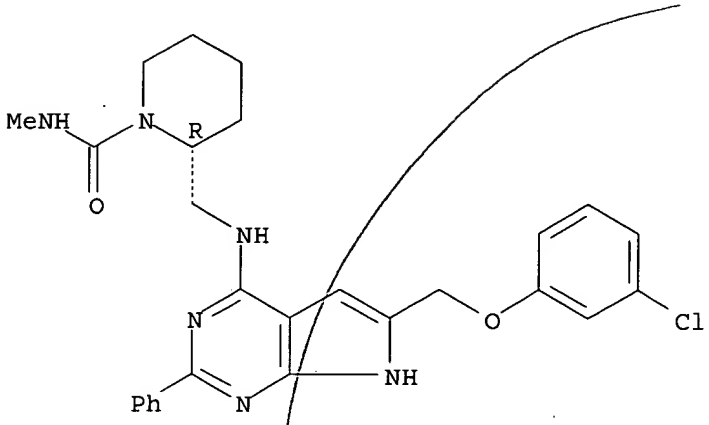
RN 343632-82-6 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



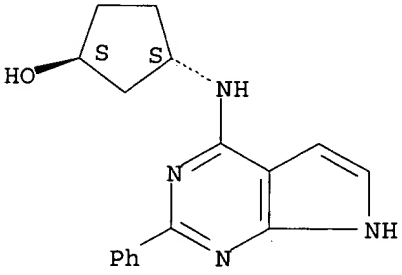
RN 343632-83-7 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



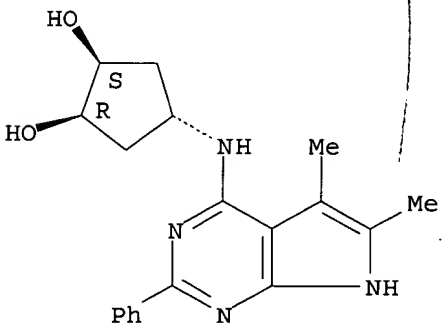
RN 343633-16-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343969-97-1 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:416773 CAPLUS
DN 135:46190

TI Synthesis and use of substituted pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists

IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PA Osi Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 368 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

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PI	WO 2001039777	A1	20010607	WO 2000-US32702	20001201
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PATENT FAMILY INFORMATION:

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FAN 2004:88297

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OS MARPAT 135:46190

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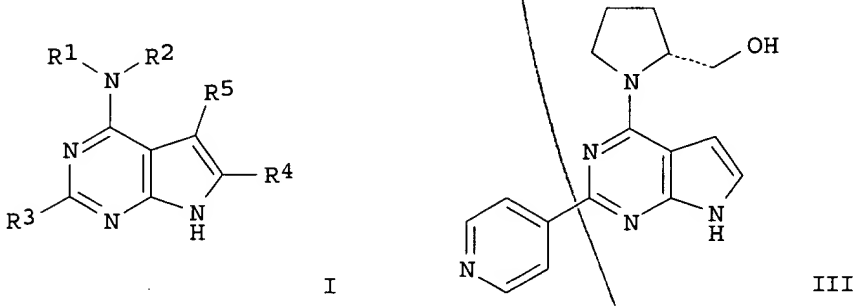

I III

AB The synthesis of compds. I, their binding to adenosine receptors and use are described [wherein; R1, R2 = H, (un)substituted alkyl or NR1R2 = (un)substituted 4-8 membered ring; R3 = (un)substituted 4-6 membered (aromatic) ring; R4, R5 = H, (un)substituted alkyl, aryl (with some exceptions)]. Over 100 examples are provided. Intermediate 4-chloro-7H-pyrrolo[2,3-d]pyrimidines were prepared by several routes from appropriately substituted cyano-pyrroles. Thus, 4-chloro-2-(4-pyridyl)-7H-pyrrolo[2,3-d]pyrimidine hydrochloride was reacted with D-prolinol (2.3 mol equiv) in DMSO at 120°C for 18 h to yield III in 13% yield after purification Compound I [R1 = AcNHCH2CH2; R2 = H; R3 = Ph; R4, R5 = Me; II] exhibited selective binding to adenosine receptor A1 with IC50 = 82.8 nM. Compound II also had Ki = 9.8 nM (vs. Ki = 7.1 for control ligand 8-cyclopentyl-1,3-dipropylxanthine (DPCPX)). Pyrimidine III binds 5 times more selectively to adenosine receptor A2a than A1, A2b or A3 (no data). Compound I [R1 = AcNH(CH2)4; R2 = H; R3 = Ph; R4, R5 = Me] is 10 times more selective for A3 than the other receptor subtypes. ClogP (calculated partition coefficient between octanol and H2O) values were determined for selected

example compds. Claimed uses of I includes administration of a systemic formulation (i.e. ophthalmic) for the treatment of a disease associated with A1, A2a, and A3 adenosine receptors in a subject.

IT **246855-42-5P 251946-07-3P 251946-08-4P**
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of substituted 7H-pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists)

RN 246855-42-5 CAPLUS
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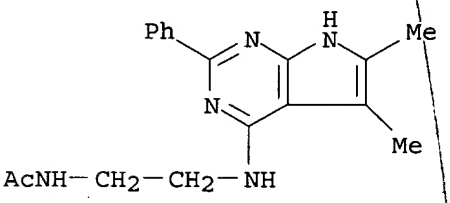
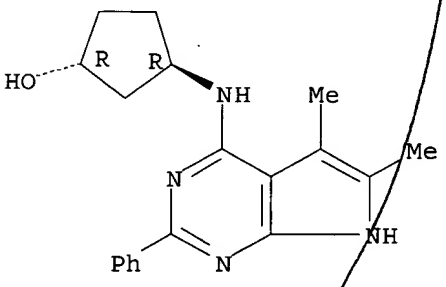


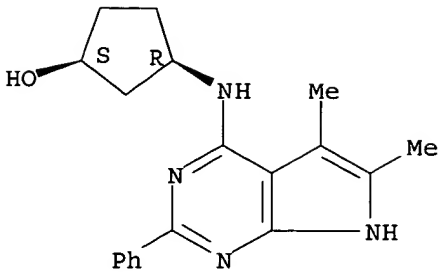
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



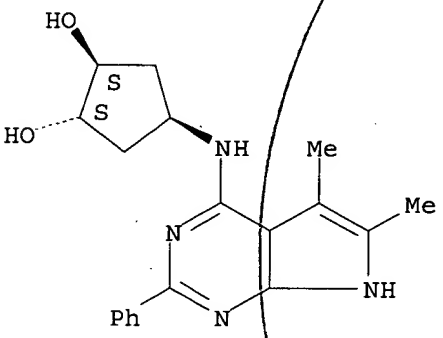
RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

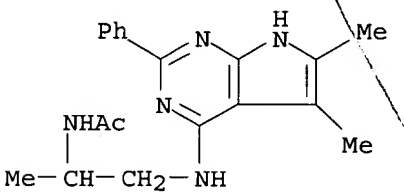


RN 251946-09-5 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

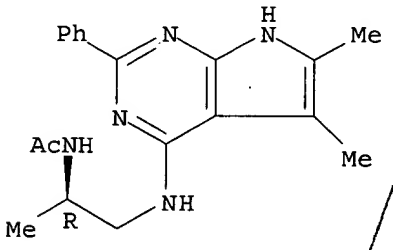


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



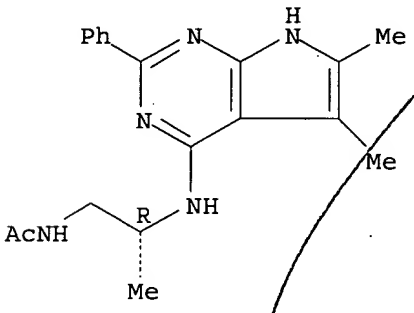
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



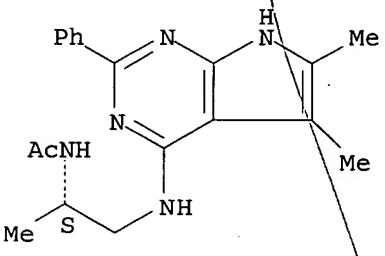
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



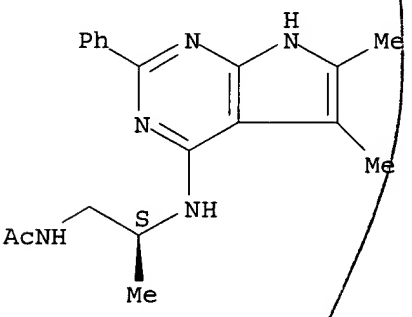
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

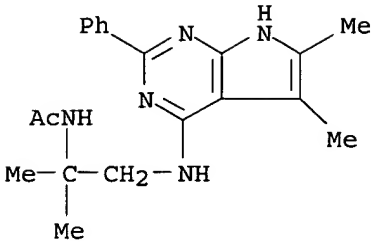


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

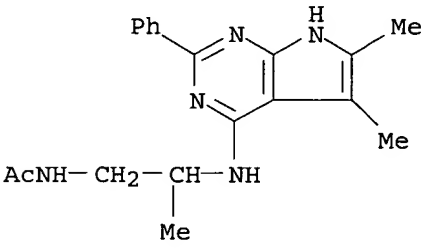
Absolute stereochemistry.



RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)



RN 251946-52-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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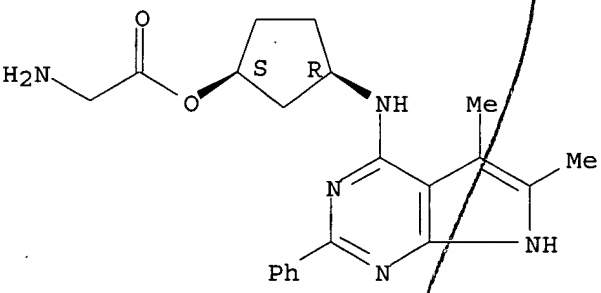
CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.



CM 2

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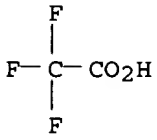
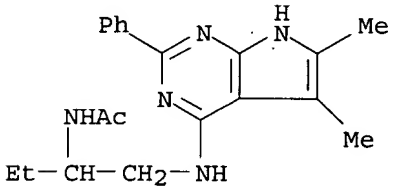


RN 251946-55-1 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- (9CI) (CA INDEX NAME)



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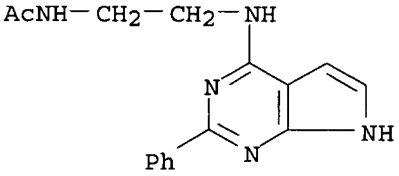
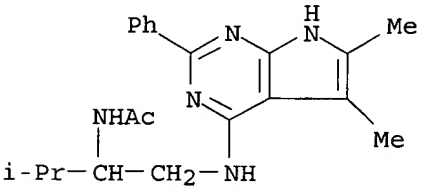
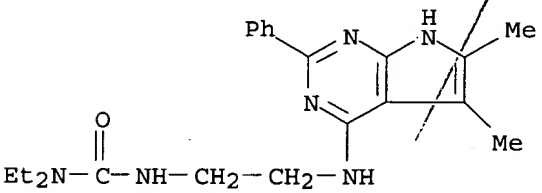
CN Acetamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 343632-31-5 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 343632-32-6 CAPLUS
CN Urea, N'-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 343632-33-7 CAPLUS
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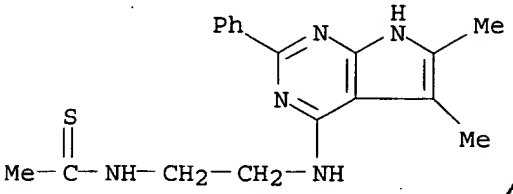
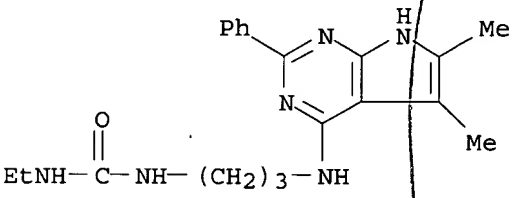
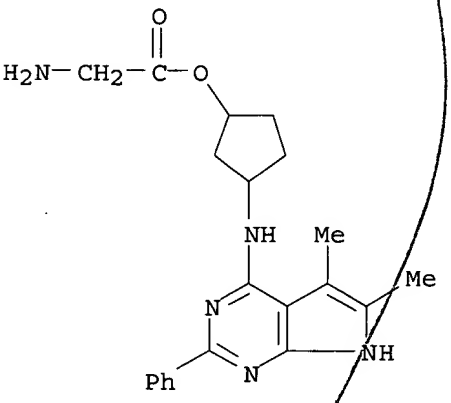


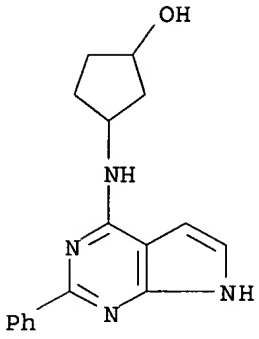
RN 343632-35-9 CAPLUS
CN Urea, N-[3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]-N'-ethyl- (9CI) (CA INDEX NAME)



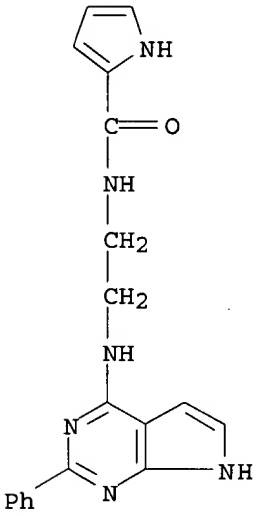
RN 343632-36-0 CAPLUS
CN Glycine, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester (9CI) (CA INDEX NAME)



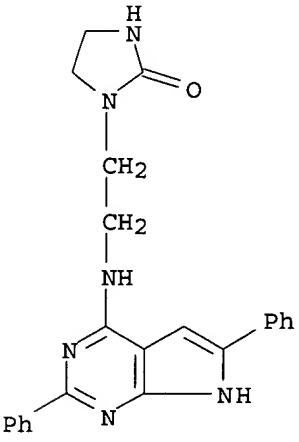
RN 343632-37-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)



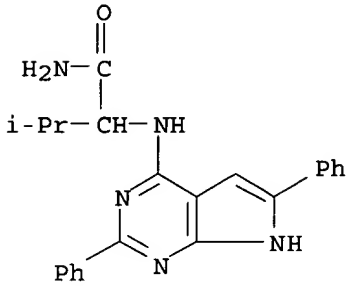
RN 343632-38-2 CAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[2-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



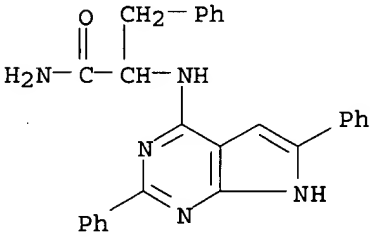
RN 343632-39-3 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



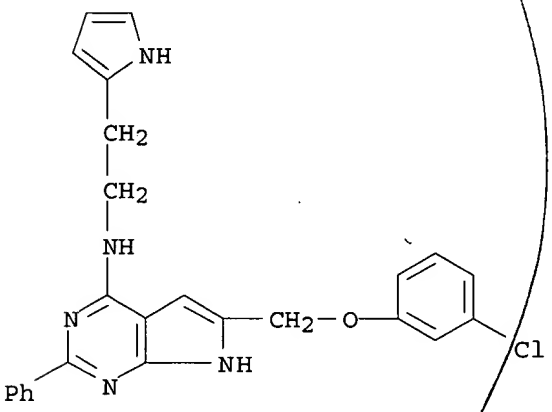
RN 343632-40-6 CAPLUS
CN Butanamide, 2-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-3-methyl- (9CI) (CA INDEX NAME)



RN 343632-41-7 CAPLUS
CN Benzenepropanamide, α-[(2,6-diphenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]- (9CI) (CA INDEX NAME)

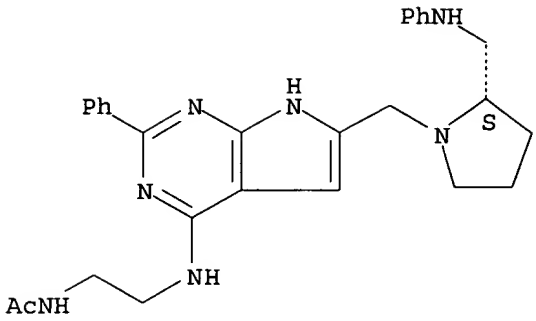


RN 343632-43-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[(3-chlorophenoxy)methyl]-2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



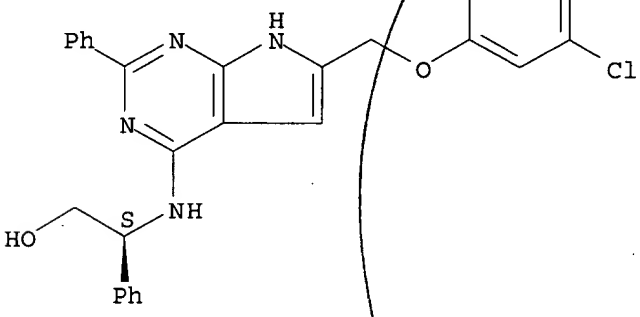
RN 343632-44-0 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2S)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

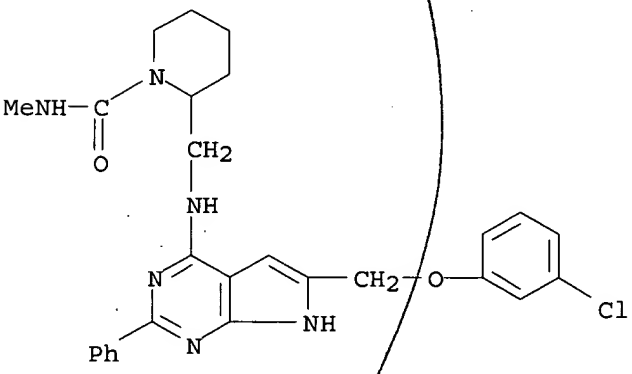


RN 343632-45-1 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βS)- (9CI) (CA INDEX NAME)

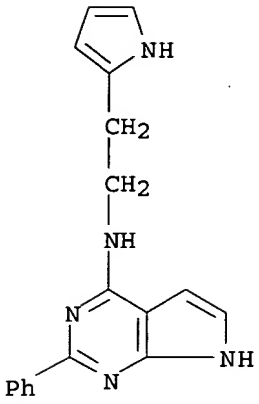
Absolute stereochemistry.



RN 343632-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

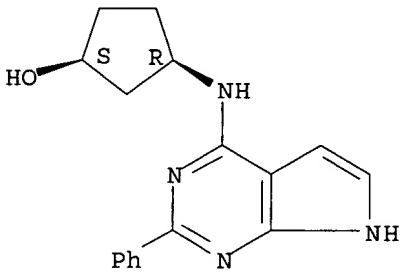


RN 343632-69-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidin-4-amine, 2-phenyl-N-[2-(1H-pyrrol-2-yl)ethyl]- (9CI) (CA INDEX NAME)



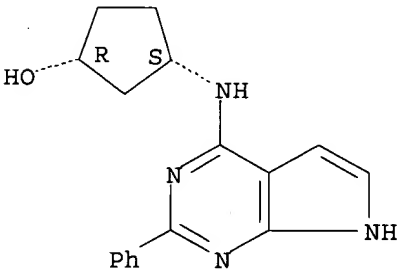
RN 343632-77-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



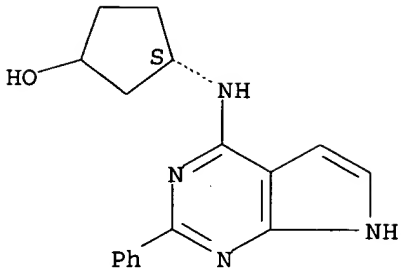
RN 343632-78-0 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



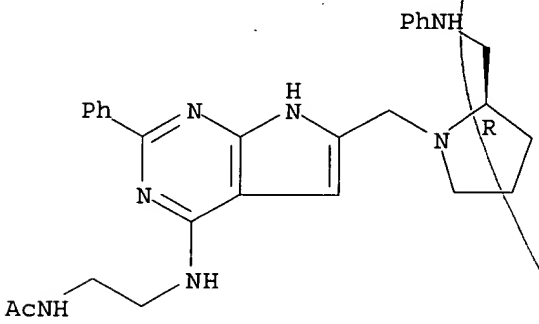
RN 343632-79-1 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



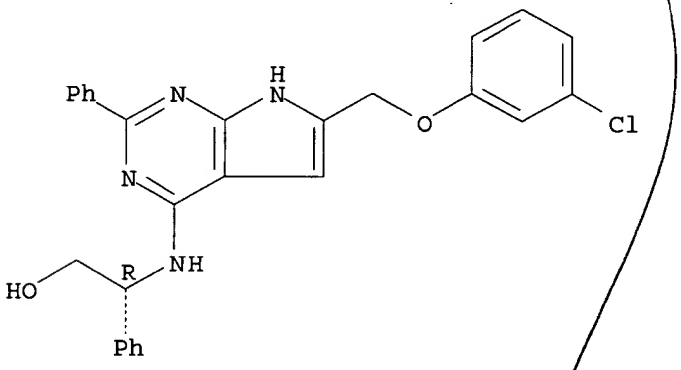
RN 343632-80-4 CAPLUS
CN Acetamide, N-[2-[[2-phenyl-6-[[(2R)-2-[(phenylamino)methyl]-1-pyrrolidinyl]methyl]-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



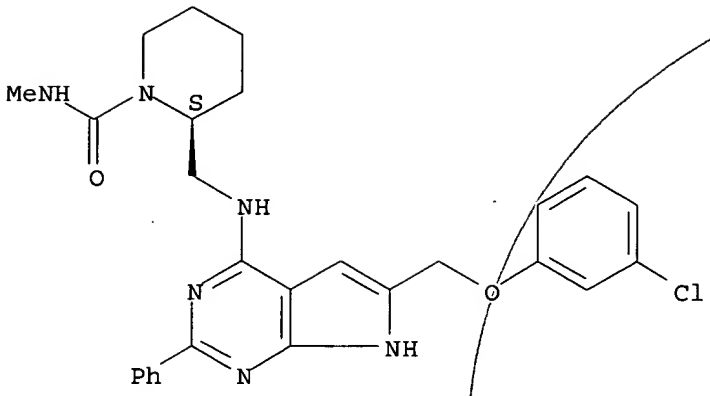
RN 343632-81-5 CAPLUS
CN Benzeneethanol, β-[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



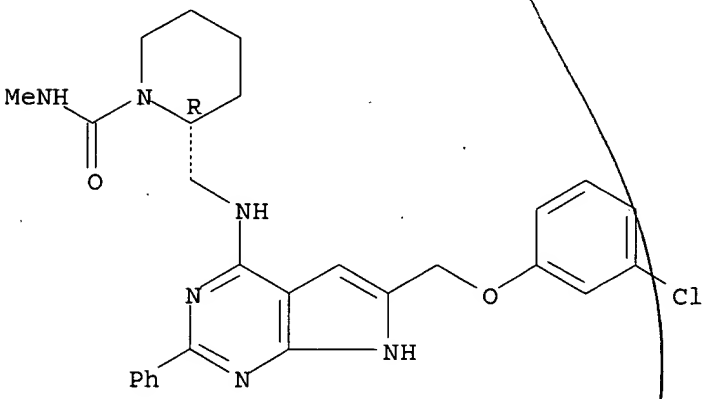
RN 343632-82-6 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



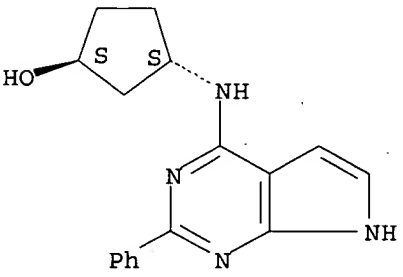
RN 343632-83-7 CAPLUS
CN 1-Piperidinecarboxamide, 2-[[[6-[(3-chlorophenoxy)methyl]-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]-N-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



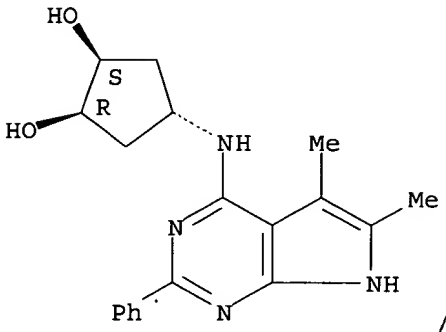
RN 343633-16-9 CAPLUS
CN Cyclopentanol, 3-[(2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 343969-97-1 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1α,2α,4β)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:783937 CAPLUS
DN 132:22973
TI Preparation of pyrrolo[2,3-d]pyrimidines as adenosine receptor antagonists
IN Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PA Cadus Pharmaceutical Corp., USA
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 2001:416773

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OS MARPAT 132:22973

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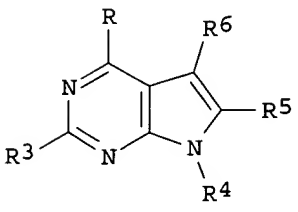


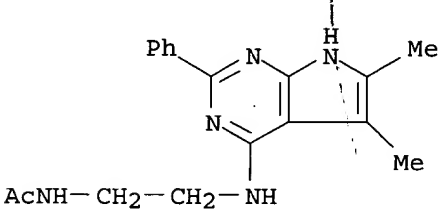
I

AB Title compds. [I; R = NR1R2; R1-R4 = H, alkyl, aryl, etc.; NR1R2 =

heterocyclyl; R5,R6 = H, halo, alkyl, aryl, etc.; R4R5,R5R6 = atoms to complete a ring] were prepared Thus, 2- amino-3-cyano-4,5-dimethyl-1-(1-phenylethyl)pyrrole was N-benzoylated and the product cyclized to give, after deprotection and chlorination, I (R3 = Ph, R4 = H, R5 = R6 = Me)(II; R = Cl) which was aminated by trans-4-hydroxycyclohexylamine to give II (R = trans-4-hydroxycyclohexylamino). Data for biol. activity of I were given.

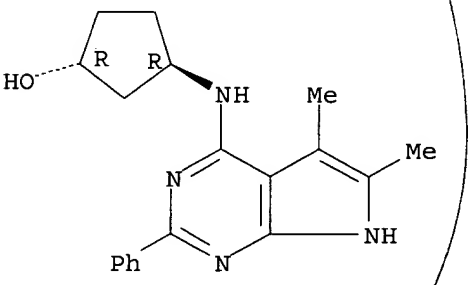
IT **246855-42-5P 251946-07-3P 251946-08-4P**
251946-09-5P 251946-37-9P 251946-38-0P
251946-39-1P 251946-40-4P 251946-41-5P
251946-45-9P 251946-46-0P 251946-52-8P
251946-55-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolo[2,3-d]pyrimidines as adenosine receptor antagonists)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



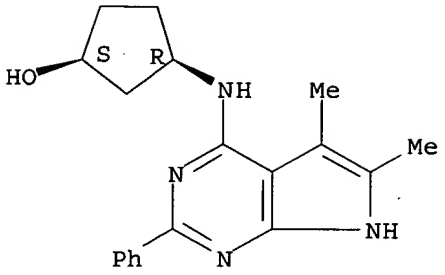
RN 251946-07-3 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



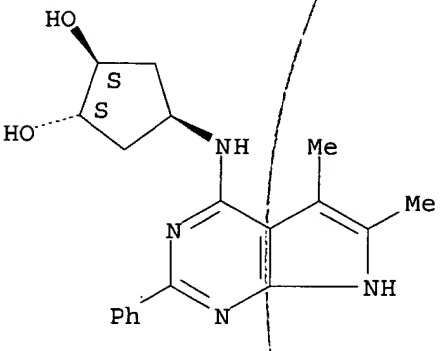
RN 251946-08-4 CAPLUS
CN Cyclopentanol, 3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

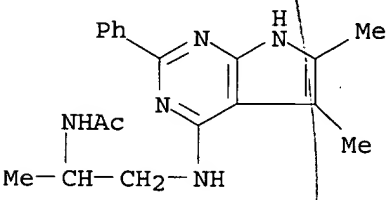


RN 251946-09-5 CAPLUS
CN 1,2-Cyclopentanediol, 4-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

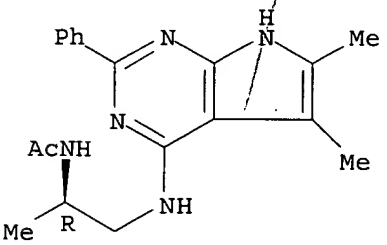


RN 251946-37-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)



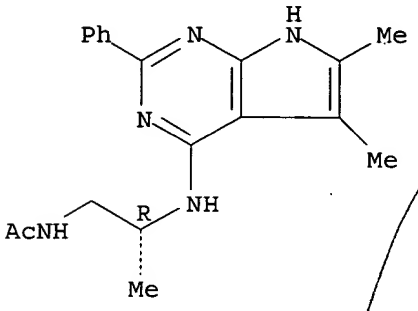
RN 251946-38-0 CAPLUS
CN Acetamide, N-[(1R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



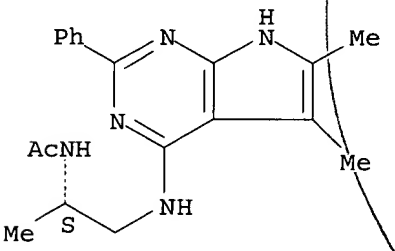
RN 251946-39-1 CAPLUS
CN Acetamide, N-[(2R)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



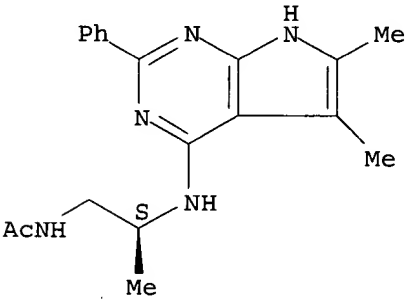
RN 251946-40-4 CAPLUS
CN Acetamide, N-[(1S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

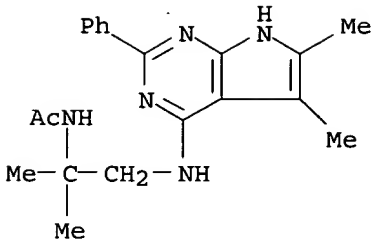


RN 251946-41-5 CAPLUS
CN Acetamide, N-[(2S)-2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

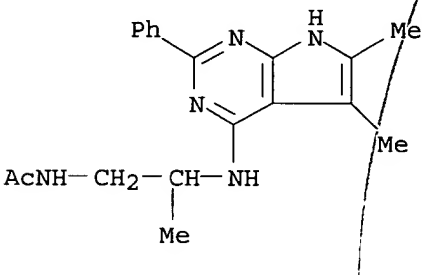
Absolute stereochemistry.



RN 251946-45-9 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



RN 251946-46-0 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]propyl]- (9CI) (CA INDEX NAME)

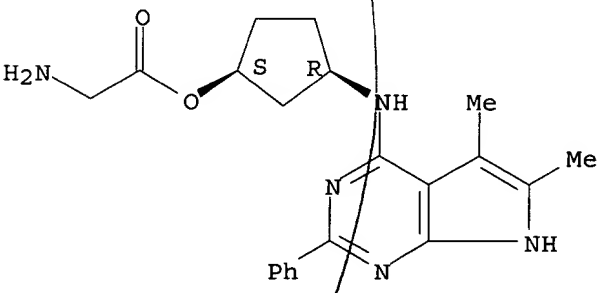


RN 251946-52-8 CAPLUS
CN Glycine, (1R,3S)-3-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]cyclopentyl ester, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

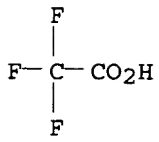
CRN 251946-51-7
CMF C21 H25 N5 O2

Relative stereochemistry.

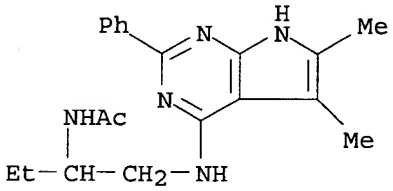


CM 2

CRN 76-05-1
CMF C2 H F3 O2

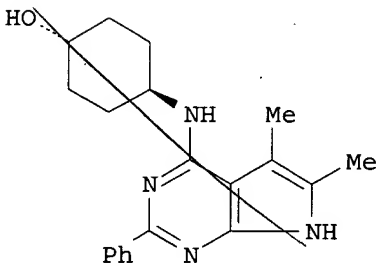


RN 251946-55-1 CAPLUS
CN Acetamide, N-[1-[[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]methyl]propyl]- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:571295 CAPLUS
DN 131:281026
TI Selective A1-adenosine receptor antagonists identified using yeast Saccharomyces cerevisiae functional assays
AU Campbell, Robert M.; Cartwright, Craig; Chen, Wei; Chen, Yong; Duzic, Emir; Fu, Jian-Min; Loveland, Michelle; Manning, Ron; McKibben, Bryan; Pleiman, Christopher M.; Silverman, Lauren; Trueheart, Joshua; Webb, David R.; Wilkinson, Vicki; Witter, David J.; Xie, Xiaobing; Castelhano, Arlindo L.
CS Cadus Pharmaceutical Corporation, Tarrytown, NY, 10591, USA
SO Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2413-2418
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



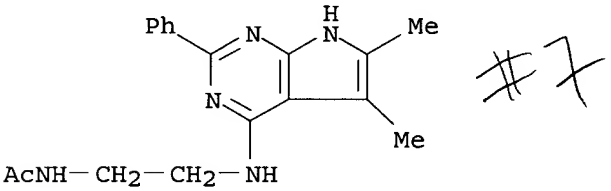
I

AB Evaluation of a biased "library" of pyrrolo[2,3-d]pyrimidines using yeast-based functional assays expressing human A1- and A2a-adenosine receptors, led to the A1 selective antagonist I. A direct correlation

between yeast functional activity and binding data was established. Practical compds. with polar residues at C-4 of the pyrrolopyrimidine system required H-bond donor functionality for high potency.

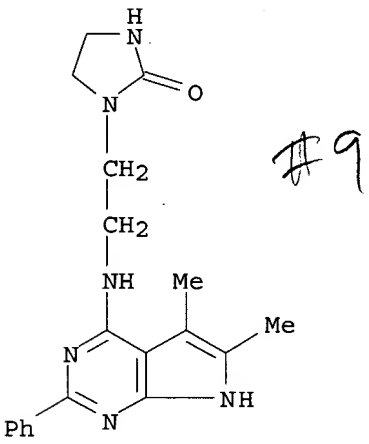
IT **246855-42-5P 246855-48-1P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(selective A1-adenosine receptor antagonists identified using yeast functional assays)

RN 246855-42-5 CAPLUS
CN Acetamide, N-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



#7

RN 246855-48-1 CAPLUS
CN 2-Imidazolidinone, 1-[2-[(5,6-dimethyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



#9

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT